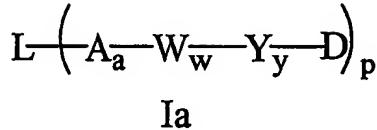


What is claimed is:

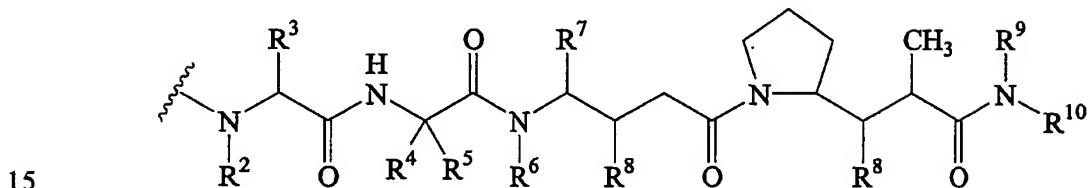
1. A compound of the Formula Ia:



or a pharmaceutically acceptable salt or solvate thereof

5 wherein,

- L- is a Ligand unit;
- A- is a Stretcher unit;
- a is 0 or 1;
- each -W- is independently an Amino Acid unit;
- 10 -Y- is a Spacer unit;
- w is an integer ranging from 0 to 12;
- y is 0, 1 or 2;
- p ranges from 1 to about 20; and
- D is a Drug unit of the formula



wherein, independently at each location:

- R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;
- R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);
- 20 R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

wherein,

5      L- is a Ligand unit;

    -A- is a Stretcher unit;

    a is 0 or 1;

    each -W- is independently an Amino Acid unit;

    -Y- is a Spacer unit;

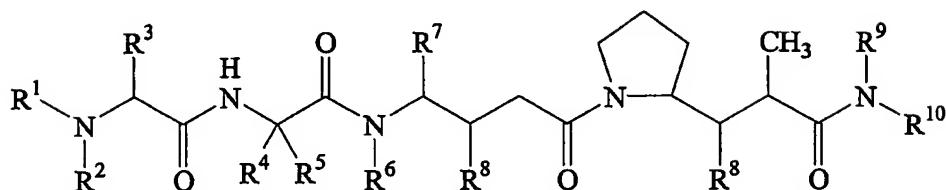
    w is an integer ranging from 0 to 12;

    y is 0, 1 or 2;

    p ranges from 1 to about 20; and

10     -D is a Drug unit of the formula

    wherein, independently at each location:



14     R<sup>1</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein 15     R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

19     R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> 20     alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

23     R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> 24     alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> 25     alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

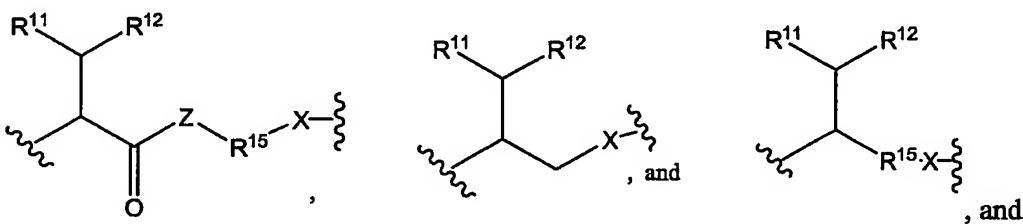
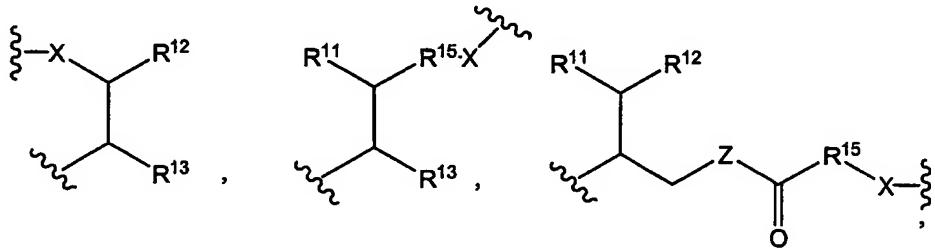
27     R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

28     R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> 30     alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

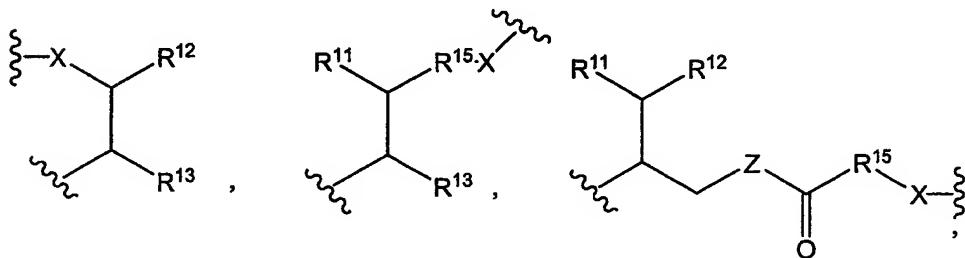
each R<sup>8</sup> is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkyl);

R<sup>9</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

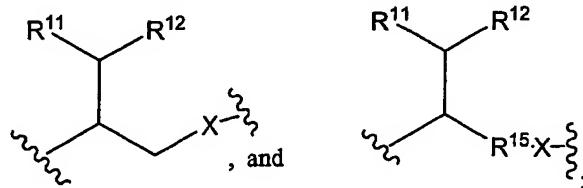
when R<sup>1</sup> is -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached, R<sup>10</sup> is selected from



when R<sup>1</sup> is -H, R<sup>10</sup> is selected from:



10



X is -O-, -S-, -NH- or -N(R<sup>14</sup>)-, where X is bonded to Y when y is 1 or 2, or X is bonded to W when y is 0;

Z is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

$R^{11}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or  $R^{11}$  is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

5 each  $R^{12}$  is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

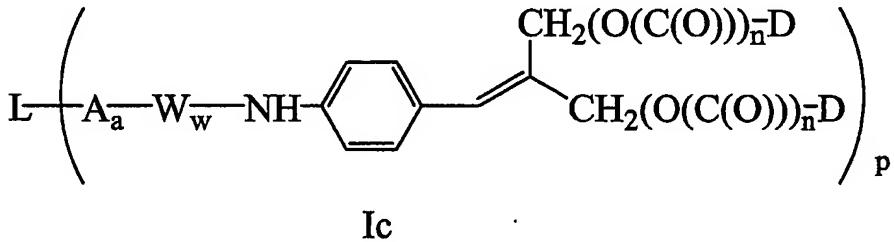
$R^{13}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

10 each  $R^{14}$  is independently independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl; and

$R^{15}$  is selected from -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo and -C<sub>3</sub>-C<sub>8</sub> heterocyclo-.

4. The compound of claim 3 wherein w is an integer ranging from 2 to 12.

5. A compound of the formula Ic:



15 or a pharmaceutically acceptable salt or solvate thereof

wherein,

$L$ - is a Ligand unit;

-A- is a Stretcher unit;

a is 0 or 1;

20 each -W- is independently an Amino Acid unit;

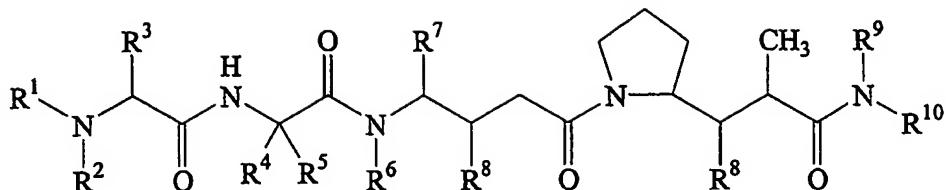
w is an integer ranging from 0 to 12;

each n is independently 0 or 1;

p ranges from 1 to about 20; and

each -D is independently:

25 (a) a Drug unit of the formula:



wherein, independently at each location:

5       $R^1$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and  $R^2$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or  $R^1$  and  $R^2$  join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein  $R^a$  and  $R^b$  are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

10      $R^3$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

15      $R^4$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein  $R^5$  is selected from -H and -methyl; or  $R^4$  and  $R^5$  join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein  $R^a$  and  $R^b$  are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

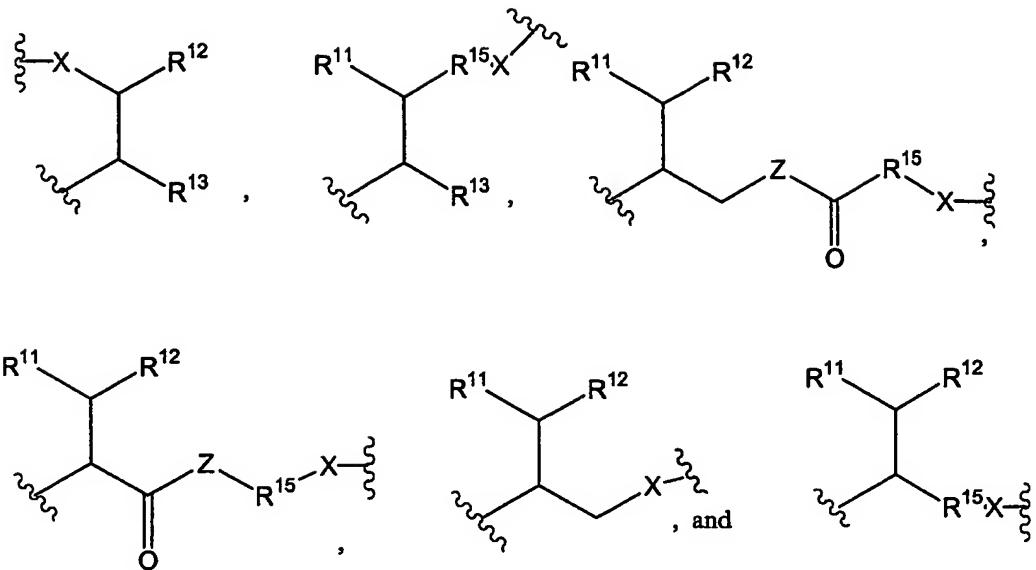
20      $R^6$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

25      $R^7$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

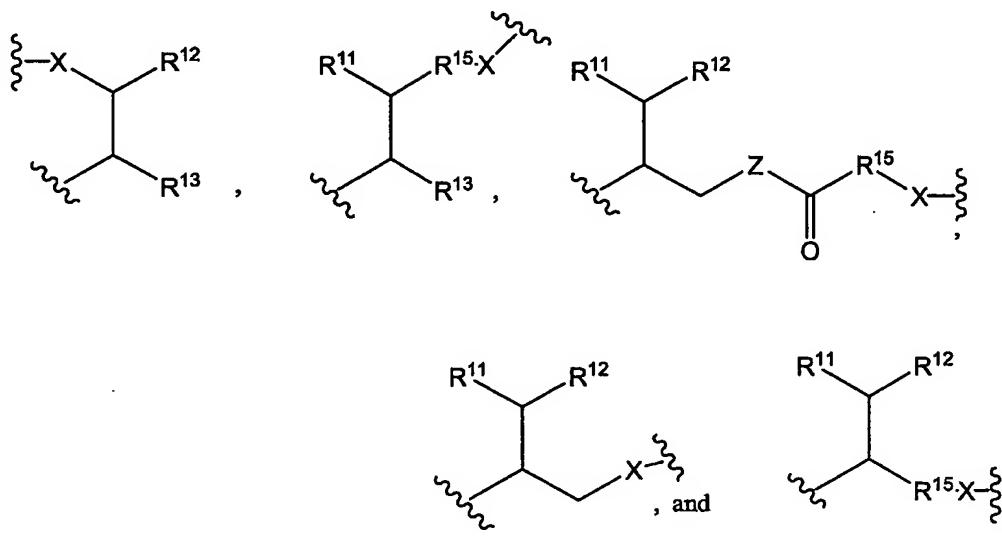
       each  $R^8$  is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkyl);

$R^9$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

       when  $R^1$  is -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, or  $R^1$  and  $R^2$  join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein  $R^a$  and  $R^b$  are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached,  $R^{10}$  is selected from



when R<sup>1</sup> is -H, R<sup>10</sup> is selected from:



X is -O-, -S-, -NH- or -N(R<sup>14</sup>)-, where X is bonded to -C(O)- when y is 1 or 5 2, or X is bonded to -CH<sub>2</sub>- when n is 0;

Z is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms 10 a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

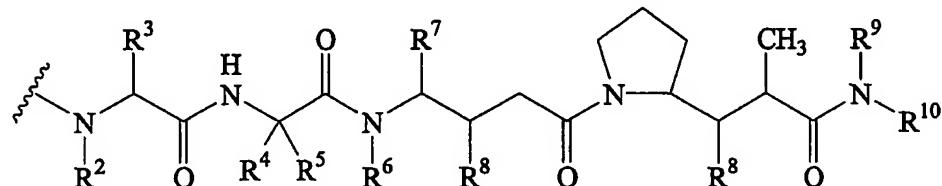
each R<sup>12</sup> is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

$R^{13}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

Each R<sup>14</sup> is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl; and

5 R<sup>15</sup> is selected from -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo and -C<sub>3</sub>-C<sub>8</sub> heterocyclo-; or

(b) a Drug unit of the formula:



wherein, independently at each location:

R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

10 R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>

15 alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

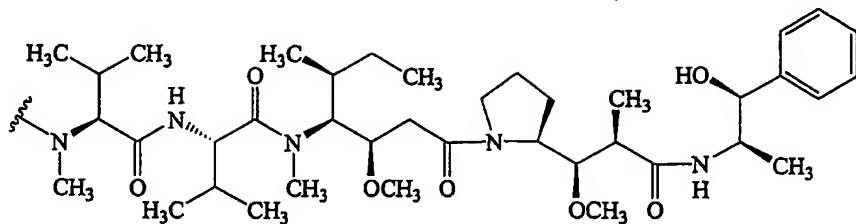
R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

20 R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

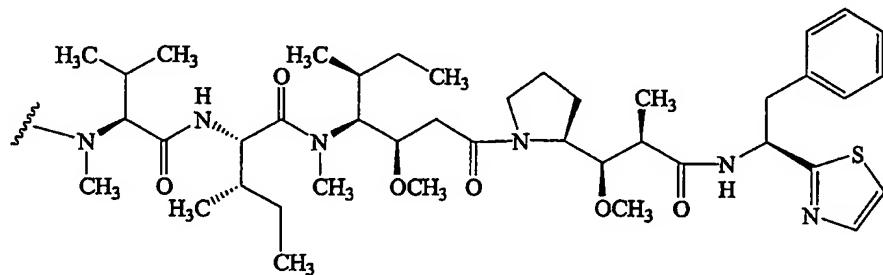
each R<sup>8</sup> is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

25 R<sup>9</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

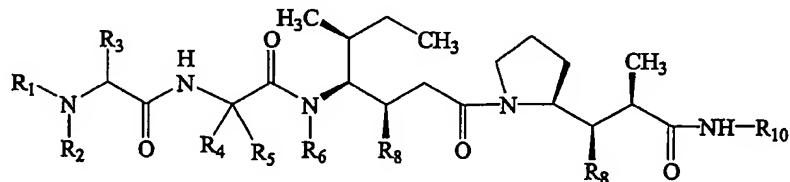
R<sup>10</sup> is selected from



10. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 1 where -D is a Drug unit having the structure



5 11. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 3 where -D is a Drug unit having the structure

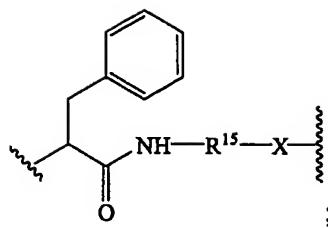


or a pharmaceutically acceptable salt or solvate thereof,  
wherein, independently at each location:

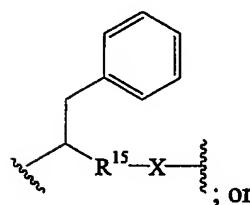
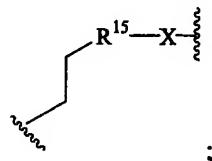
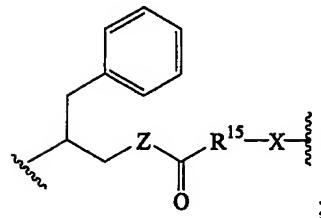
10  $R^1$  is selected from -H and -methyl;  
 $R^2$  is selected from -H and -methyl;  
 $R^3$  is selected from -H, -methyl, and -isopropyl;  
 $R^4$  is selected from -H and -methyl;  $R^5$  is selected from -isopropyl, -isobutyl, -sec-butyl, -methyl and -t-butyl or  $R^4$  and  $R^5$  join, have the formula  $-(CR^aR^b)_n-$  where  $R^a$  and  $R^b$  are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, and -C<sub>3</sub>-C<sub>8</sub> carbocycle, and N is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

15  $R^6$  is selected from -H and -methyl;  
each  $R^8$  is independently selected from -OH, -methoxy and -ethoxy;  
when  $R^1$  is -methyl,  $R^{10}$  is selected from

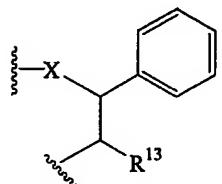
20



;



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where X is -O-, -NH- or -N(R<sup>14</sup>)- and forms a bond with Y when y is 1 or 2, with W when y is 0, and with A when w and y are both 0;

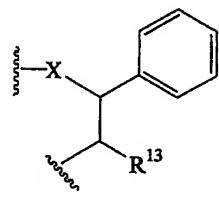
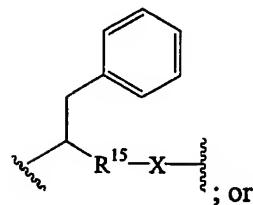
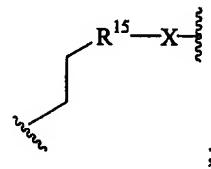
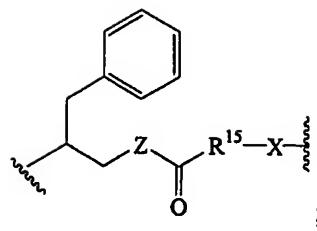
Z is -O-, -NH- or -N(R<sup>14</sup>)-;

10 R<sup>13</sup> is -H or -methyl;

R<sup>14</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl; and

R<sup>15</sup> is -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo or -C<sub>3</sub>-C<sub>8</sub> heterocyclo-,

when R<sup>1</sup> is -H, R<sup>10</sup> is selected from:



5

where X is -O-, -NH- or -N(R<sup>14</sup>)- and forms a bond with Y when y is 1 or 2, with W when y is 0, and with A when w and y are both 0;

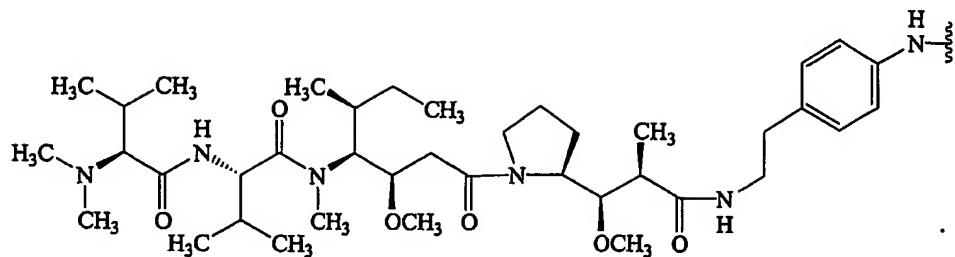
Z is -O-, -NH- or -N(R<sup>14</sup>)-;

R<sup>13</sup> is -H or -methyl;

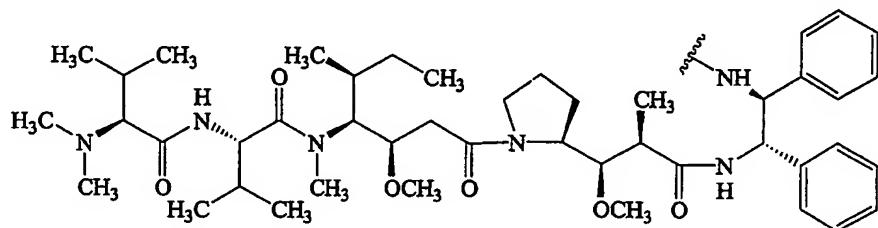
10 R<sup>14</sup> is C<sub>1</sub>-C<sub>8</sub> alkyl; and

R<sup>15</sup> is -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo or -C<sub>3</sub>-C<sub>8</sub> heterocyclo-.

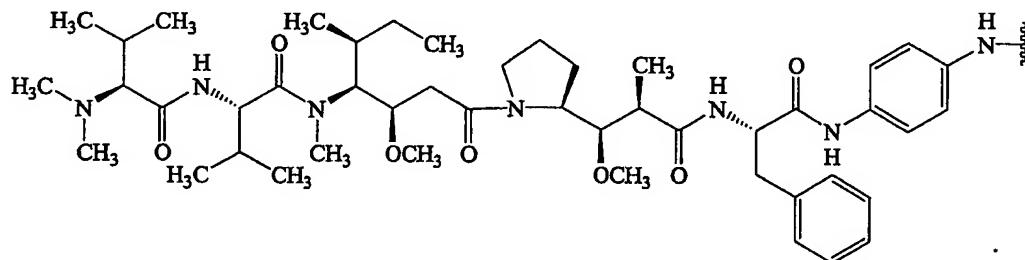
12. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 3 where -D is a Drug unit having the structure



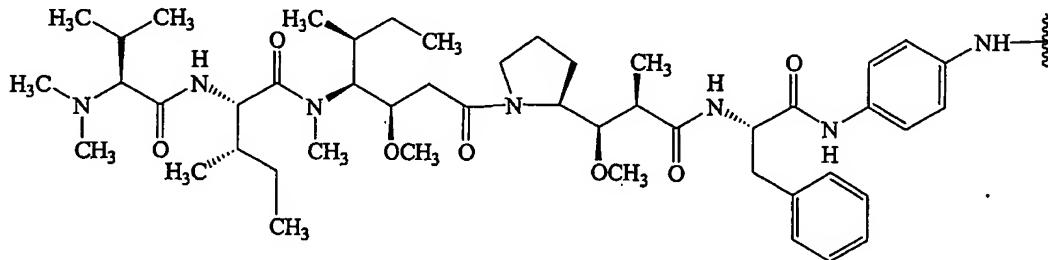
13. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 3 where -D is a Drug unit having the structure



5 14. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 3 where -D is a Drug unit having the structure

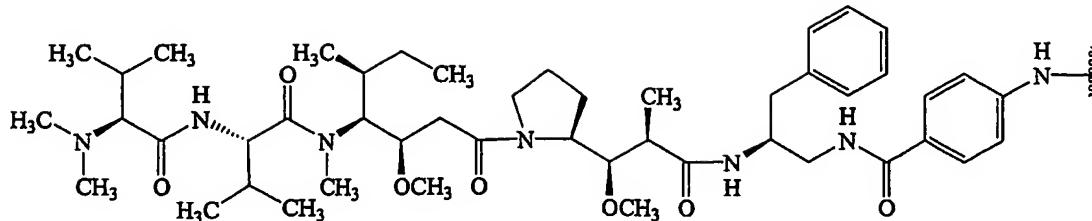


15. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 3 where -D is a Drug unit having the structure



10

16. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 3 where -D is a Drug unit having the structure

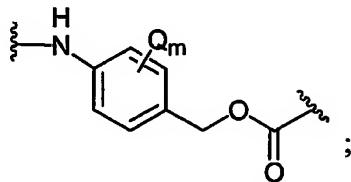


17. A compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where the Ligand unit is an antibody unit.

5 18. The compound or a pharmaceutically acceptable salt or solvate of the compound of claim 17 where the antibody unit is a monoclonal antibody unit.

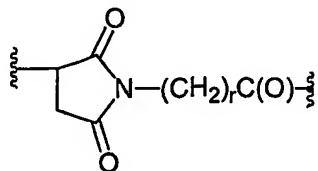
19. The compound or a pharmaceutically acceptable salt or solvate of the compound of claim 18 where the monoclonal antibody unit is cBR96, cAC10 or 1F6.

10 20. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1 or 3 where  $-Y_y-$  is



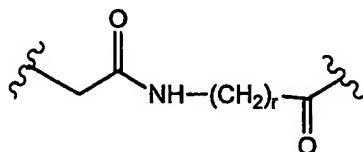
Q is selected from  $-C_1-C_8$  alkyl,  $-O-(C_1-C_8$  alkyl), -halogen, -nitro and -cyano; and m is an integer ranging from 0-4, the amino terminus of  $-Y_y-$  forming a bond with a Amino acid unit and the carboxyl terminus of  $-Y_y-$  forming a bond with an Drug unit.

15 21. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where  $-A-$  is



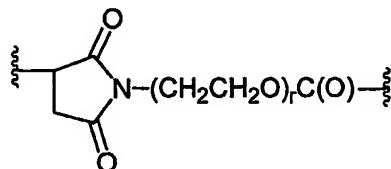
and r is an integer ranging from 1-10, the carbonyl terminus of  $-A-$  forming a bond with an Amino Acid unit and the succinimido terminus of  $-Y_y-$  forming a bond with a Ligand unit.

22. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where -A- is



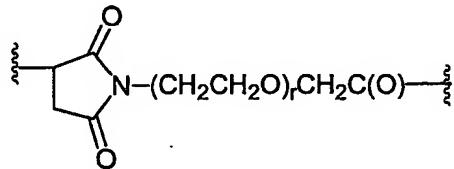
5 and r is an integer ranging from 1-10, the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the amidomethyl terminus of -A- forming a bond with a Ligand unit.

23. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where -A- is



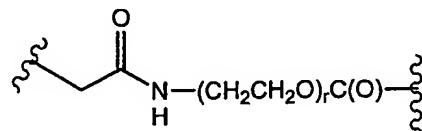
10 and r is an integer ranging from 1-10, the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the succinimido terminus of -A- forming a bond with a Ligand unit.

24. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where -A- is



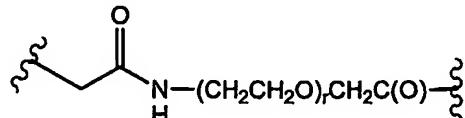
15 and r is an integer ranging from 1-10, the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the succinimido terminus of -A- forming a bond with a Ligand unit.

25. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where -A- is



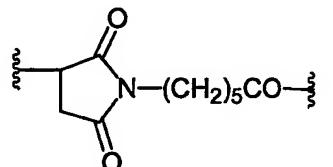
and r is an integer ranging from 1-10, the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the amidomethyl terminus of -A- forming a bond with a Ligand unit.

26. The compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3 or 5 where -A- is



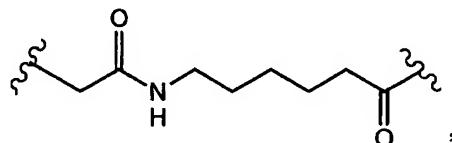
the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the amidomethyl terminus of -A- forming a bond with a Ligand unit.

27. The compound or a pharmaceutically acceptable salt or solvate of the compound of claim 21 where -A- is



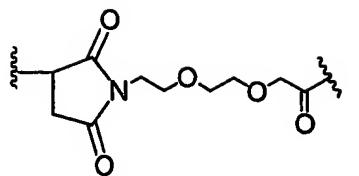
the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the succinimido terminus of -A- forming a bond with a Ligand unit.

28. The compound or a pharmaceutically acceptable salt or solvate of the compound of claim 22 where -A- is



the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the amidomethyl terminus of -A- forming a bond with a Ligand unit.

29. The compound or a pharmaceutically acceptable salt or solvate of the compound of claim 24 where -A- is

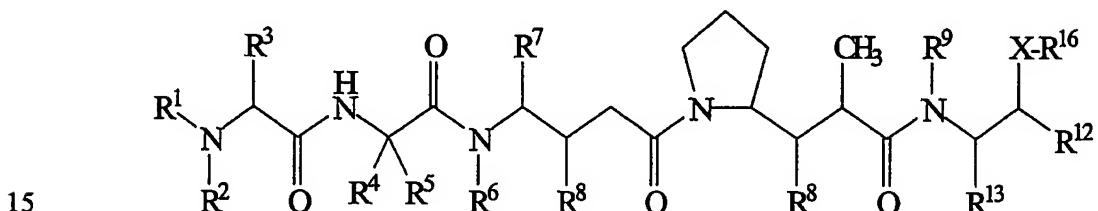


the carbonyl terminus of -A- forming a bond with an Amino Acid unit and the succinimido terminus of -A- forming a bond with a Ligand unit.

30. The compound or a pharmaceutically acceptable salt or solvate of the  
 5 compound of any one of claims 1, 3 or 5 where -W<sub>w</sub>- is -Phenylalanine-Lysine-, the amino terminus of -W<sub>w</sub>- forming a bond with a Stretcher unit when a is 1 or with a Ligand unit if a is 0, and the C- terminus of -W<sub>w</sub>- forming a bond with a Spacer unit when y is 1 or 2, and with a Drug unit when y is 0.

31. The compound or a pharmaceutically acceptable salt or solvate of the  
 10 compound of any one of claims 1, 3 or 5 where -W<sub>w</sub>- is -valine-citrulline-, the amino terminus of -W<sub>w</sub>- forming a bond with a Stretcher unit when a is 1 or with a Ligand unit if a is 0, and the C- terminus of -W<sub>w</sub>- forming a bond with a Spacer unit when y is 1 or 2, and with a Drug unit when y is 0.

32. A compound of the formula



or a pharmaceutically acceptable salts or solvate thereof  
 wherein, independently at each location:  
 R<sup>1</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein  
 20 R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

$R^3$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

$R^4$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein  $R^5$  is selected from -H and -methyl; or  $R^4$  and  $R^5$  join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

10  $R^6$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

$R^7$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each  $R^8$  is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

15  $R^9$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

X is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

each  $R^{12}$  is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

$R^{13}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

20 each  $R^{14}$  is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;

$R^{16}$  is -Yy-Ww-A'

wherein

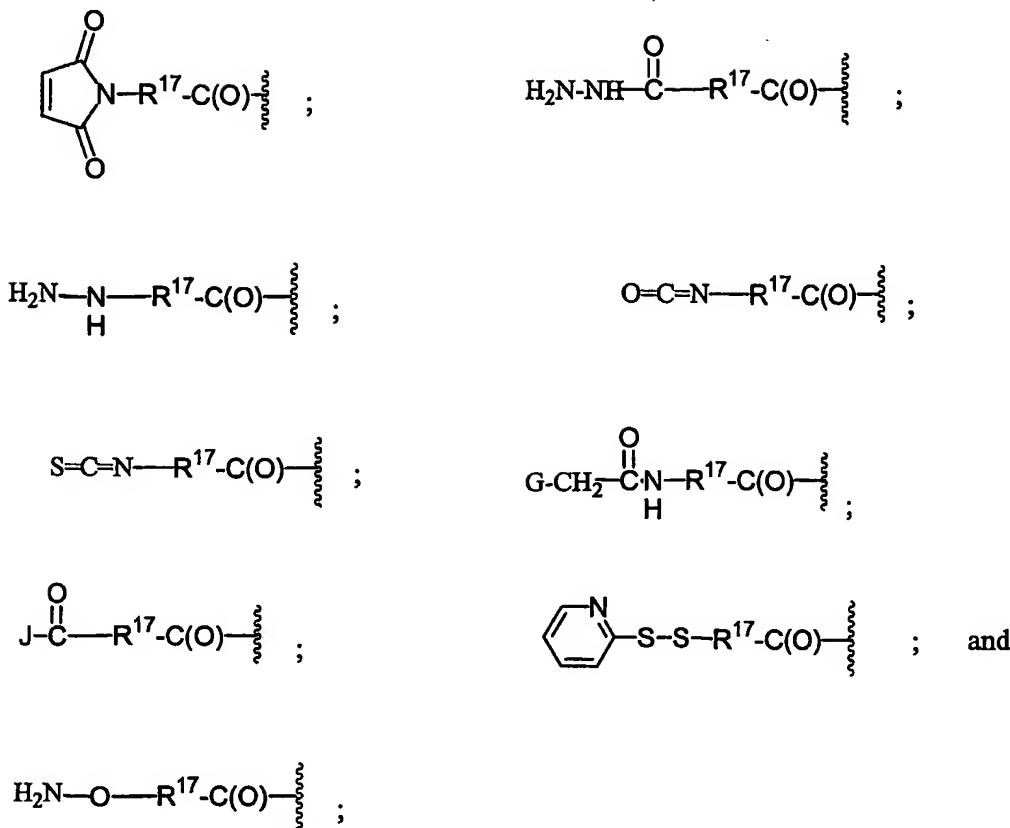
25 each -W- is independently an Amino Acid unit;

-Y- is a Spacer unit;

w is an integer ranging from 0 to 12;

y is 0, 1 or 2; and

-A' is selected from



wherein

G is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

J is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;

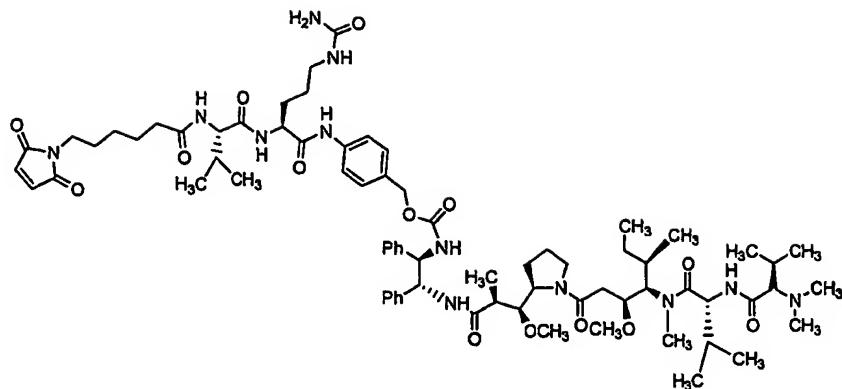
5 R<sup>17</sup> is selected from -C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo-, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy)-, -arylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-arylene-, -arylene-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> heterocyclo-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>-;

10 (CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>;

r is an integer ranging from 1-10; and

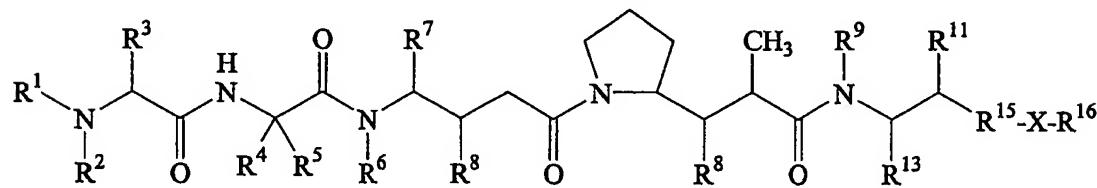
R<sup>18</sup> is -C<sub>1</sub>-C<sub>8</sub> alkyl or -aryl.

33. The compound of claim 32 having the structure



or a pharmaceutically acceptable salt or solvate thereof.

34. A compound of the formula



5

or a pharmaceutically acceptable salt or solvate thereof

wherein, independently at each location:

R<sup>1</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

$R^7$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each  $R^8$  is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub>

5 carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

$R^9$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

X is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

10  $R^{11}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or  $R^{11}$  is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

$R^{13}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -

15 C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each  $R^{14}$  is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;

$R^{15}$  is selected from -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo- and -C<sub>3</sub>-C<sub>8</sub> heterocyclo-;

$R^{16}$  is -Yy-Ww-A'

wherein

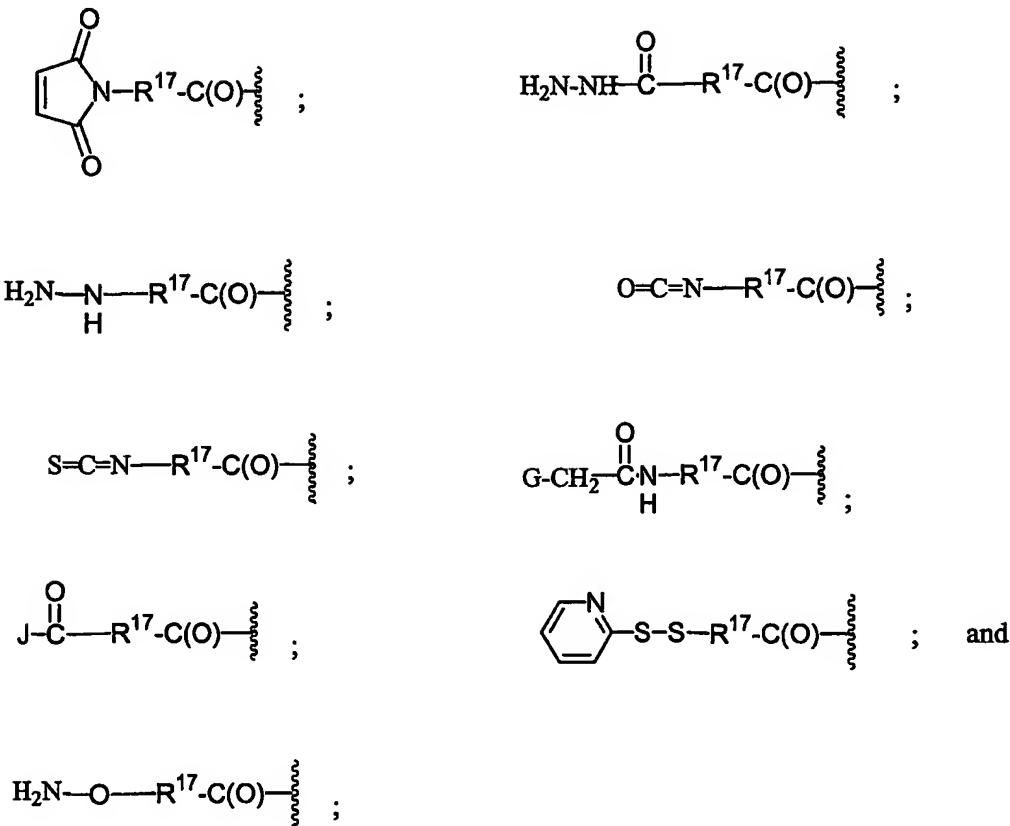
20 each -W- is independently an Amino Acid unit;

-Y- is a Spacer unit;

w is an integer ranging from 0 to 12;

y is 0, 1 or 2; and

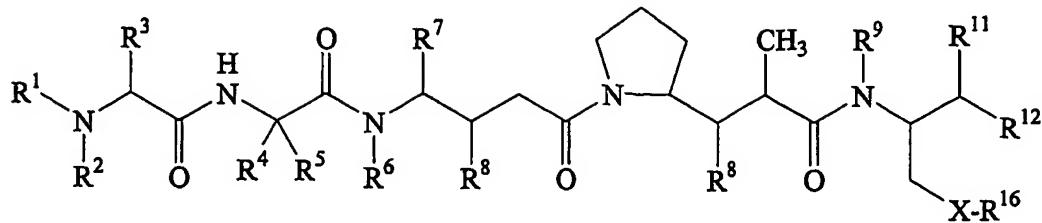
-A' is



wherein

$\text{G}$  is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;  
 $\text{J}$  is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;  
 $\text{R}^{17}$  is selected from -C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo-, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy)-, -arylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-arylene-, -arylene-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> heterocyclo-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>, and -  
 $\text{r}$  is an integer ranging from 1-10; and  
 $\text{R}^{18}$  is -C<sub>1</sub>-C<sub>8</sub> alkyl or -aryl.

35. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof

wherein, independently at each location:

R<sup>1</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is

5 selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -

10 aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -

15 aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join,

15 have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -

20 aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each R<sup>8</sup> is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

R<sup>9</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

25 X is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on

30 this carbon atom is replaced by one of the bonds in the (C=O) double bond;

each  $R^{12}$  is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;  
 each  $R^{14}$  is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;  
 $R^{16}$  is -Yy-Ww-A'

wherein

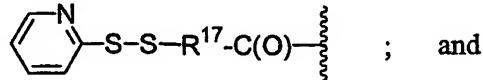
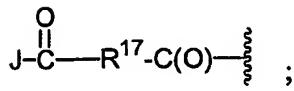
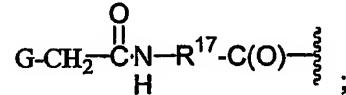
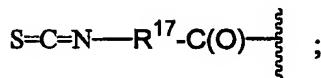
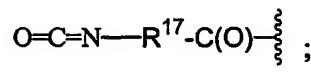
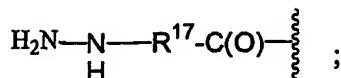
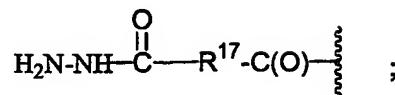
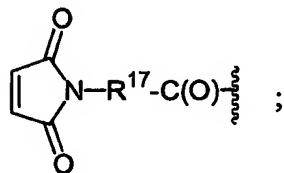
5 each -W- is independently an Amino Acid unit;

-Y- is a Spacer unit;

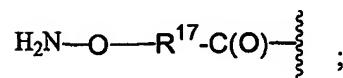
w is an integer ranging from 0 to 12;

y is 0, 1 or 2; and

-A' is selected from



10



wherein

G is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

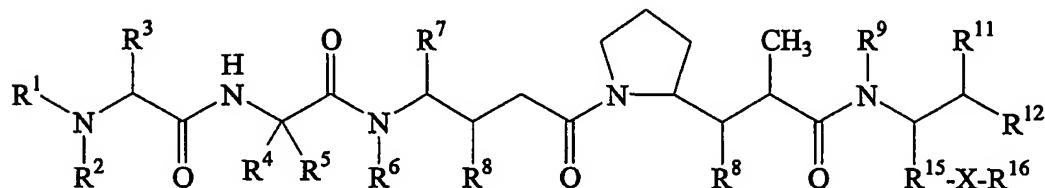
J is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;  
 15  $R^{17}$  is selected from -C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo-, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy)-, -arylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-arylene-, -arylene-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> heterocyclo-, -C<sub>1</sub>-C<sub>10</sub>

alkylene-(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-, and -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>;

r is an integer ranging from 1-10; and

R<sup>18</sup> is -C<sub>1</sub>-C<sub>8</sub> alkyl or -aryl.

5 36. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof

wherein, independently at each location:

R<sup>1</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is  
10 selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein  
R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is  
selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are  
attached;

R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -  
15 aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>  
alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -  
aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>  
alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join,  
20 have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub>  
alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the  
carbon atom to which they are attached;

R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -  
25 aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>  
alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each R<sup>8</sup> is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub>  
carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

R<sup>9</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

X is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms

5 a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

each R<sup>12</sup> is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

each R<sup>14</sup> is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>16</sup> is -Yy-Ww-A'

10 wherein

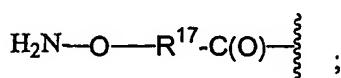
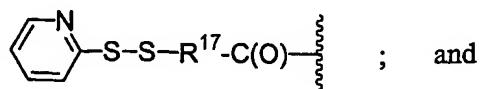
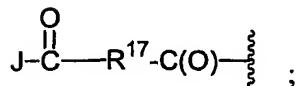
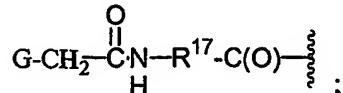
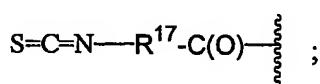
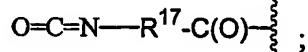
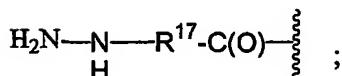
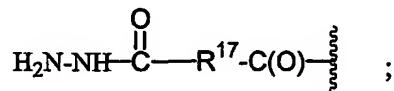
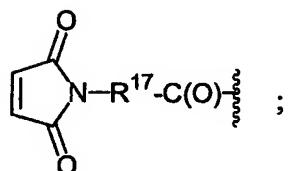
each -W- is independently an Amino Acid unit;

-Y- is a Spacer unit;

w is an integer ranging from 0 to 12;

y is 0, 1 or 2; and

15 -A' is selected from



wherein

G is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

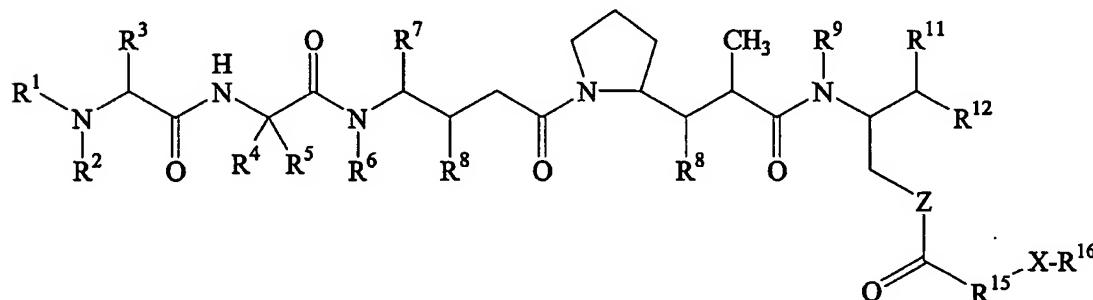
J is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;

R<sup>17</sup> is selected from -C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo-, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy)-, -arylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-arylene-, -arylene-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> heterocyclo-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>, and -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>-;

5 r is an integer ranging from 1-10; and

10 R<sup>18</sup> is -C<sub>1</sub>-C<sub>8</sub> alkyl or -aryl.

37. A compound of the formula



15 or a pharmaceutically acceptable salt or solvate thereof

wherein, independently at each location:

R<sup>1</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

20 R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub>

alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -

5 aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each R<sup>8</sup> is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

R<sup>9</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

10 Z is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on 15 this carbon atom is replaced by one of the bonds in the (C=O) double bond;

each R<sup>12</sup> is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

each R<sup>14</sup> is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>15</sup> is selected from -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo- and -C<sub>3</sub>-C<sub>8</sub> heterocyclo-;

R<sup>16</sup> is -Yy-Ww-A'

20 wherein

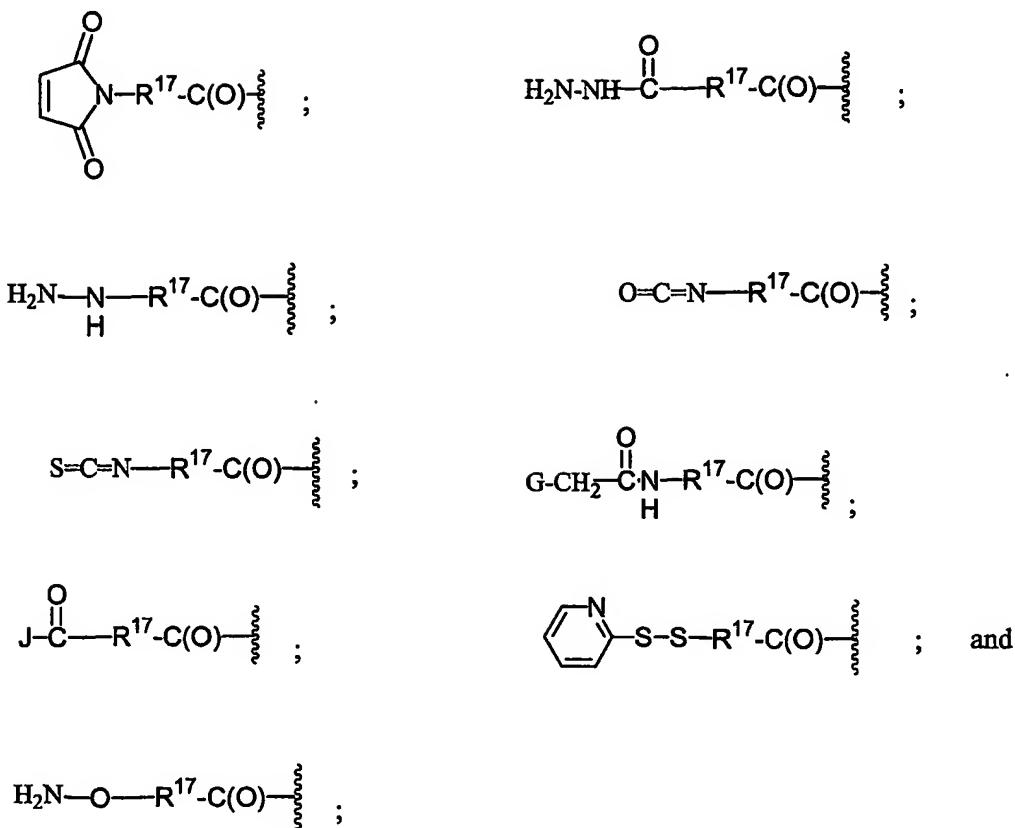
each -W- is independently an Amino Acid unit;

-Y- is a Spacer unit;

w is an integer ranging from 0 to 12;

y is 0, 1 or 2; and

25 -A' is selected from



wherein

G is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

J is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-

5 nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>.

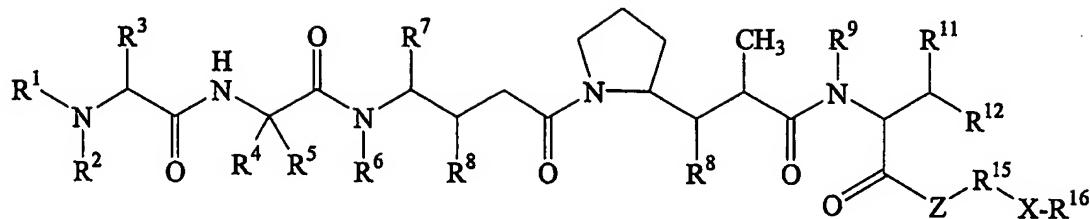
$R^{17}$  is selected from  $-C_1-C_{10}$  alkylene-,  $-C_3-C_8$  carbocyclo-,  $-O-(C_1-C_8$  alkoxy)-,  $-arylene-$ ,  $-C_1-C_{10}$  alkylene-arylene-,  $-arylene-C_1-C_{10}$  alkylene-,  $-C_1-C_{10}$  alkylene- $(C_3-C_8$  carbocyclo)-,  $-(C_3-C_8$  carbocyclo)- $C_1-C_{10}$  alkylene-,  $-C_3-C_8$  heterocyclo-,  $-C_1-C_{10}$  alkylene- $(C_3-C_8$  heterocyclo)-,  $-(C_3-C_8$  heterocyclo)- $C_1-C_{10}$  alkylene-,  $-(CH_2CH_2O)_r$ , and -

10  $(CH_2CH_2O)_r-CH_2-$ ;

r is an integer ranging from 1-10; and

$R^{18}$  is  $-C_1-C_8$  alkyl or -aryl.

38. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof

wherein, independently at each location:

R<sup>1</sup> is selected from -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle; and R<sup>2</sup> is selected

5 from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; or R<sup>1</sup> and R<sup>2</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the nitrogen atom to which they are attached;

R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>

10 alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub>

15 alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>

20 alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each R<sup>8</sup> is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

R<sup>9</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

X is -O-, -S-, -NH- or -N(R<sup>14</sup>);

25 Z is -O-, -S-, -NH- or -N(R<sup>14</sup>);

R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on

30 this carbon atom is replaced by one of the bonds in the (C=O) double bond;

each  $R^{12}$  is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;  
 each  $R^{14}$  is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;  
 $R^{15}$  is selected from -arylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo- and -C<sub>3</sub>-C<sub>8</sub> heterocyclo-;  
 $R^{16}$  is -Yy-Ww-A'

5 wherein

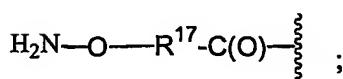
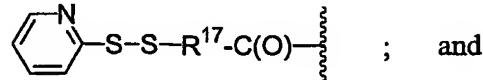
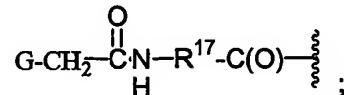
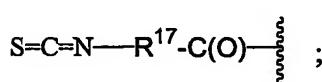
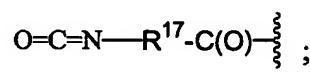
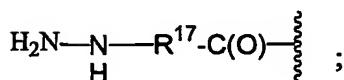
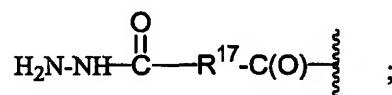
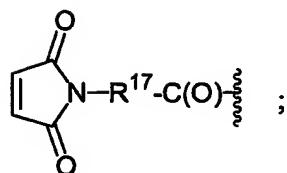
each -W- is independently an Amino Acid unit;

-Y- is a Spacer unit;

w is an integer ranging from 0 to 12;

y is 0, 1 or 2; and

10 -A' is selected from



wherein

G is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

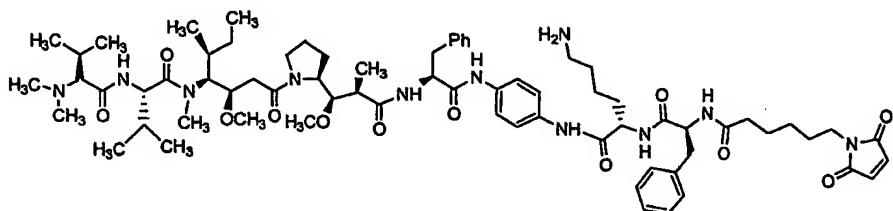
15 J is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;

$R^{17}$  is selected from  $-C_1-C_{10}$  alkylene-,  $-C_3-C_8$  carbocyclo-,  $-O-(C_1-C_8$  alkoxy)-, -arylene-,  $-C_1-C_{10}$  alkylene-arylene-, -arylene- $C_1-C_{10}$  alkylene-,  $-C_1-C_{10}$  alkylene- $(C_3-C_8$  carbocyclo)-,  $-(C_3-C_8$  carbocyclo)- $C_1-C_{10}$  alkylene-,  $-C_3-C_8$  heterocyclo-,  $-C_1-C_{10}$  alkylene- $(C_3-C_8$  heterocyclo)-,  $-(C_3-C_8$  heterocyclo)- $C_1-C_{10}$  alkylene-,  $-(CH_2CH_2O)_r$ -, and  $-5(CH_2CH_2O)_r-CH_2$ ;

5  $r$  is an integer ranging from 1-10; and

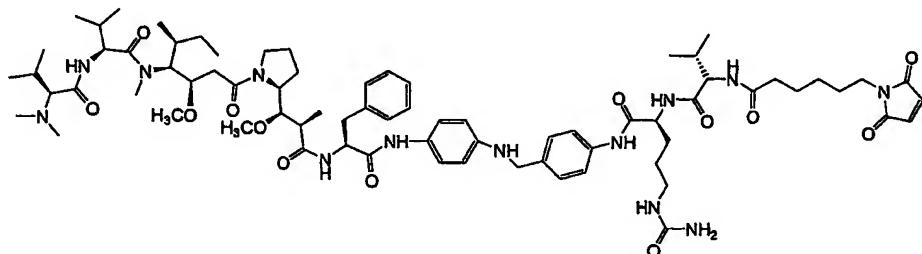
$R^{18}$  is  $-C_1-C_8$  alkyl or -aryl.

39. The compound of claim 38 having the structure



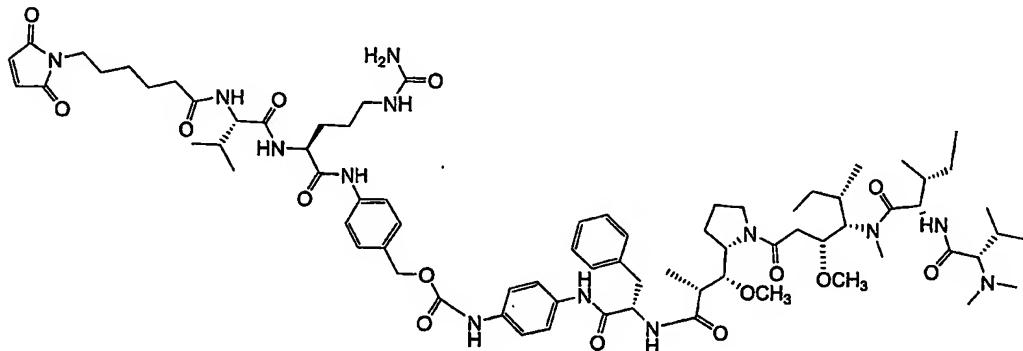
10 or a pharmaceutically acceptable salt or solvate thereof.

40. The compound of claim 38 having the structure



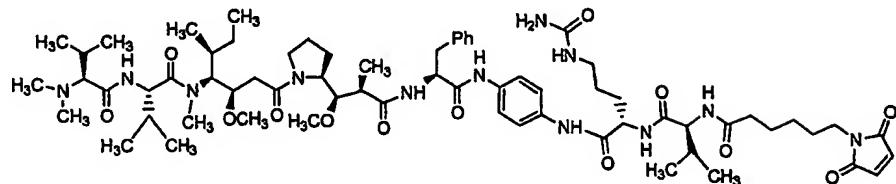
or a pharmaceutically acceptable salt or solvate thereof.

41. The compound of claim 38 having the structure



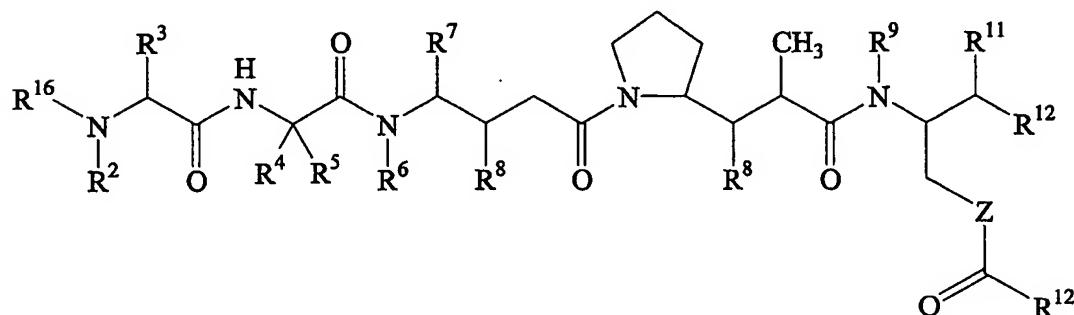
or a pharmaceutically acceptable salt or solvate thereof.

42. The compound of claim 38 having the structure



or a pharmaceutically acceptable salt or solvate thereof.

5 43. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof

wherein, independently at each location:

R<sup>2</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

10 R<sup>3</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

R<sup>4</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub>

15 alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) wherein R<sup>5</sup> is selected from -H and -methyl; or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- wherein R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl and -C<sub>3</sub>-C<sub>8</sub> carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

R<sup>6</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

20 R<sup>7</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

each  $R^8$  is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy);

5             $R^9$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

          Z is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

          R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

10          each R<sup>12</sup> is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

          each R<sup>14</sup> is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl;

          R<sup>16</sup> is -Yy-Ww-A'

          wherein

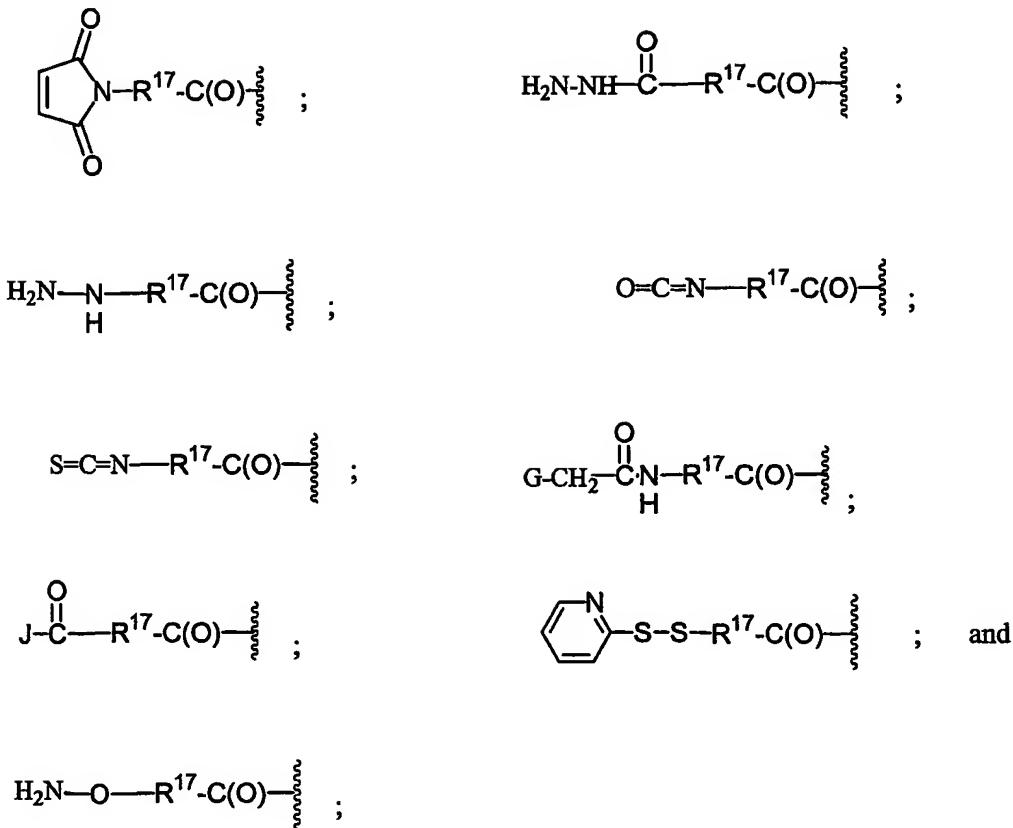
          each -W- is independently an Amino Acid unit;

15          -Y- is a Spacer unit;

          w is an integer ranging from 0 to 12;

          y is 0, 1 or 2; and

          -A' is selected from



wherein

G is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

J is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;

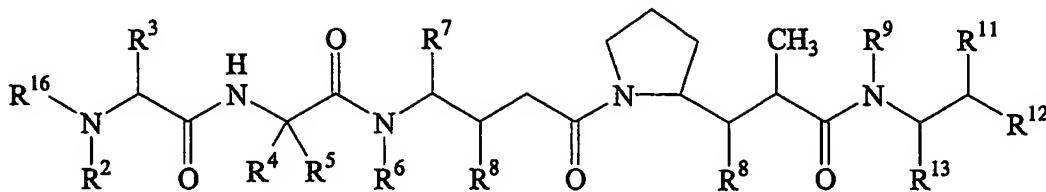
5 R<sup>17</sup> is selected from -C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo-, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy)-, -arylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-arylene-, -arylene-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> heterocyclo-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>, and -

10 (CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>-;

r is an integer ranging from 1-10; and

R<sup>18</sup> is -C<sub>1</sub>-C<sub>8</sub> alkyl or -aryl.

44. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof  
wherein, independently at each location:

5       $R^2$  is selected from -H and  $-C_1-C_8$  alkyl;

5       $R^3$  is selected from -H,  $-C_1-C_8$  alkyl,  $-C_3-C_8$  carbocycle,  $-O-(C_1-C_8)$  alkoxy, -aryl,  $-C_1-C_8$  alkyl-aryl,  $-C_1-C_8$  alkyl-( $C_3-C_8$  carbocycle),  $-C_3-C_8$  heterocycle and  $-C_1-C_8$  alkyl-( $C_3-C_8$  heterocycle);

10      $R^4$  is selected from -H,  $-C_1-C_8$  alkyl,  $-C_3-C_8$  carbocycle,  $-O-(C_1-C_8)$  alkoxy, -aryl,  $-C_1-C_8$  alkyl-aryl,  $-C_1-C_8$  alkyl-( $C_3-C_8$  carbocycle),  $-C_3-C_8$  heterocycle and  $-C_1-C_8$  alkyl-( $C_3-C_8$  heterocycle) wherein  $R^5$  is selected from -H and -methyl; or  $R^4$  and  $R^5$  join, have the formula  $-(CR^aR^b)_n-$  wherein  $R^a$  and  $R^b$  are independently selected from -H,  $-C_1-C_8$  alkyl and  $-C_3-C_8$  carbocycle and n is selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

15      $R^6$  is selected from -H and  $-C_1-C_8$  alkyl;

15      $R^7$  is selected from -H,  $-C_1-C_8$  alkyl,  $-C_3-C_8$  carbocycle,  $-O-(C_1-C_8)$  alkoxy, -aryl,  $-C_1-C_8$  alkyl-aryl,  $-C_1-C_8$  alkyl-( $C_3-C_8$  carbocycle),  $-C_3-C_8$  heterocycle and  $-C_1-C_8$  alkyl-( $C_3-C_8$  heterocycle);

20     each  $R^8$  is independently selected from -H, -OH,  $-C_1-C_8$  alkyl,  $-C_3-C_8$  carbocycle and  $-O-(C_1-C_8)$  alkoxy);

20      $R^9$  is selected from -H and  $-C_1-C_8$  alkyl;

20      $R^{11}$  is selected from -H, -OH, -NH<sub>2</sub>,  $-NHR^{14}$ ,  $-N(R^{14})_2$ ,  $-C_1-C_8$  alkyl,  $-C_3-C_8$  carbocycle,  $-O-(C_1-C_8)$  alkyl), -aryl,  $-C_1-C_8$  alkyl-aryl,  $-C_1-C_8$  alkyl-( $C_3-C_8$  carbocycle),  $-C_3-C_8$  heterocycle and  $-C_1-C_8$  alkyl-( $C_3-C_8$  heterocycle); or  $R^{11}$  is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

25     each  $R^{12}$  is independently selected from -aryl and  $-C_3-C_8$  heterocycle;

25      $R^{13}$  is selected from -H, -OH, -NH<sub>2</sub>,  $-NHR^{14}$ ,  $-N(R^{14})_2$ ,  $-C_1-C_8$  alkyl,  $-C_3-C_8$  carbocycle,  $-O-(C_1-C_8)$  alkoxy), -aryl,  $-C_1-C_8$  alkyl-aryl,  $-C_1-C_8$  alkyl-( $C_3-C_8$  carbocycle),  $-C_3-C_8$  heterocycle and  $-C_1-C_8$  alkyl-( $C_3-C_8$  heterocycle);

30     each  $R^{14}$  is independently -H or  $-C_1-C_8$  alkyl;

$R^{16}$  is  $-Yy-Ww-A'$

wherein

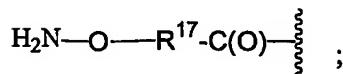
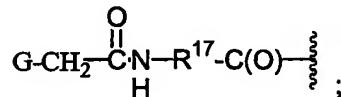
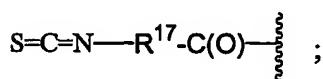
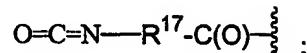
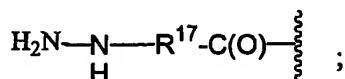
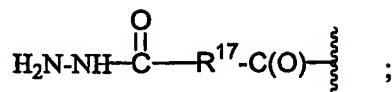
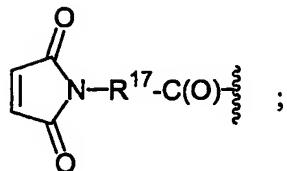
each  $-W-$  is independently an Amino Acid unit;

$-Y-$  is a Spacer unit;

5  $w$  is an integer ranging from 0 to 12;

$y$  is 0, 1 or 2; and

$-A'$  is selected from



wherein

10  $G$  is selected from -Cl, -Br, -I, -O-mesyl and -O-tosyl;

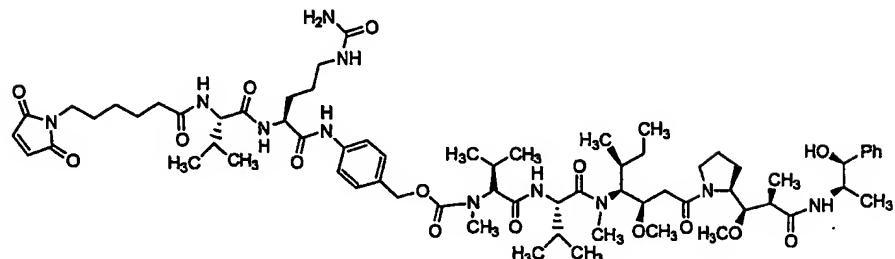
$J$  is selected from -Cl, -Br, -I, -F, -OH, -O-N-succinimide, -O-(4-nitrophenyl), -O-pentafluorophenyl, -O-tetrafluorophenyl and -O-C(O)-OR<sup>18</sup>;

$R^{17}$  is selected from -C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> carbocyclo-, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy)-, -arylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-arylene-, -arylene-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> carbocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -C<sub>3</sub>-C<sub>8</sub> heterocyclo-, -C<sub>1</sub>-C<sub>10</sub> alkylene-(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-, -(C<sub>3</sub>-C<sub>8</sub> heterocyclo)-C<sub>1</sub>-C<sub>10</sub> alkylene-, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-, and -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>-CH<sub>2</sub>-;

r is an integer ranging from 1-10; and

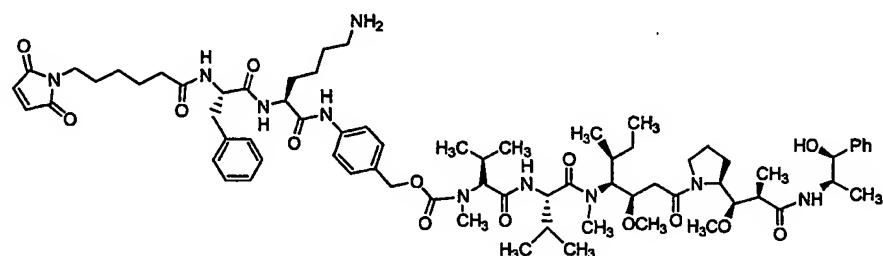
$R^{18}$  is -C<sub>1</sub>-C<sub>8</sub> alkyl or -aryl.

45. The compound of claim 44 having the structure



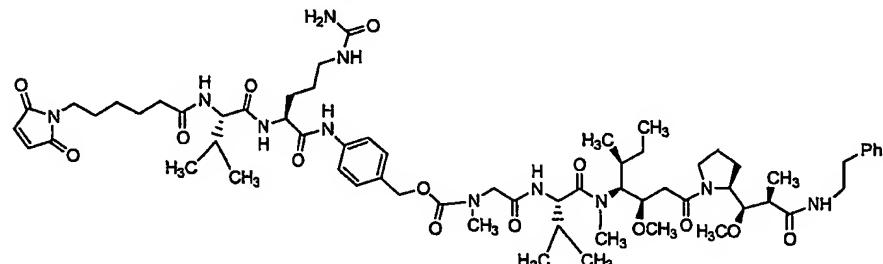
5 or a pharmaceutically acceptable salt or solvate thereof.

46. The compound of claim 44 having the structure



or a pharmaceutically acceptable salt or solvate thereof.

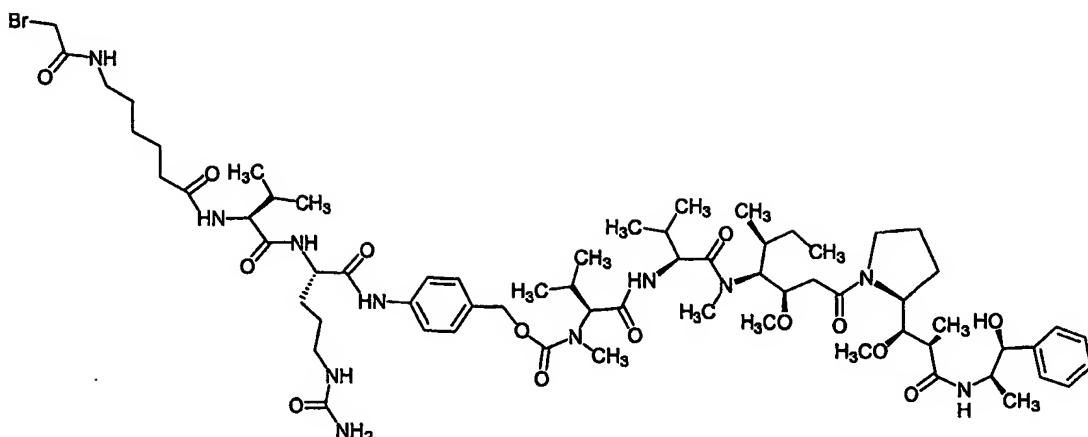
47. The compound of claim 44 having the structure



10

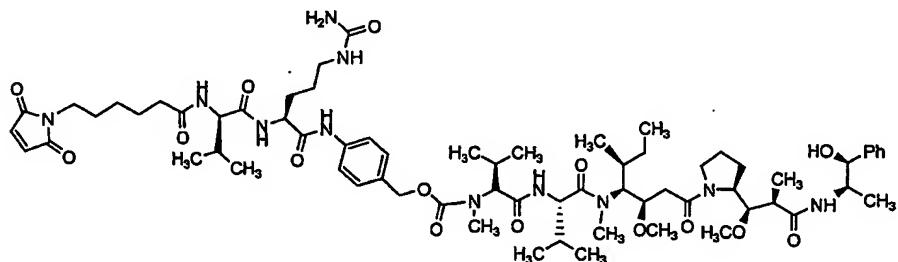
or a pharmaceutically acceptable salt or solvate thereof.

48. The compound of claim 44 having the structure



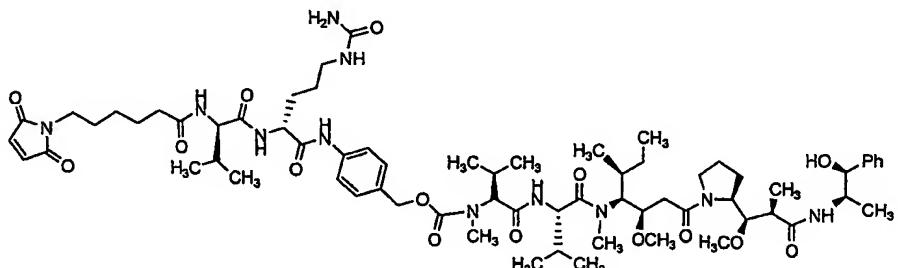
or a pharmaceutically acceptable salt or solvate thereof.

49. The compound of claim 44 having the structure



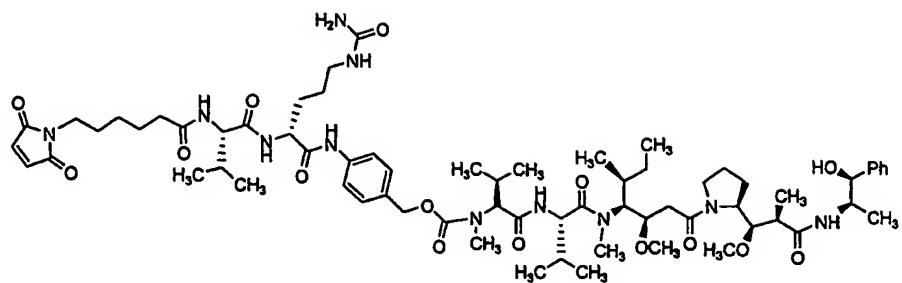
5 or a pharmaceutically acceptable salt or solvate thereof.

50. The compound of claim 44 having the structure



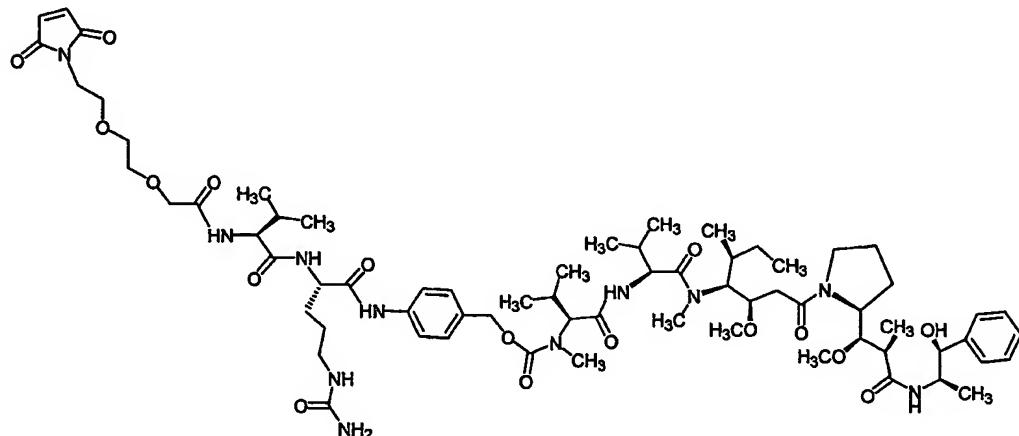
or a pharmaceutically acceptable salt or solvate thereof.

51. The compound of claim 44 having the structure



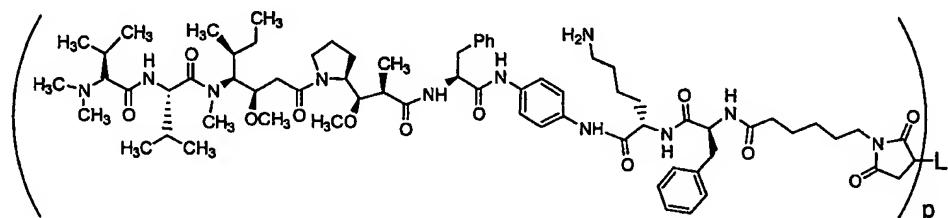
or a pharmaceutically acceptable salt or solvate thereof.

52. The compound of claim 44 having the structure



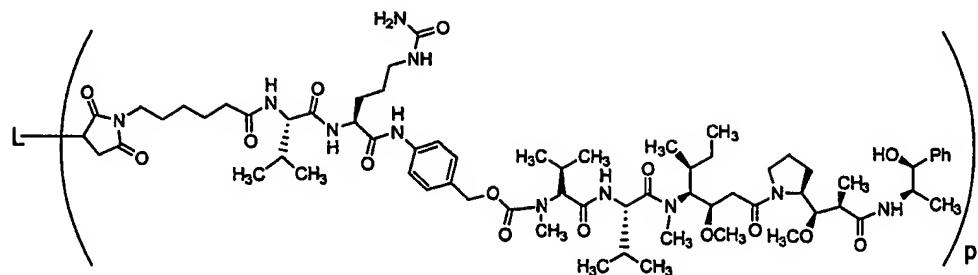
5 or a pharmaceutically acceptable salt or solvate thereof.

53. The compound of claim 3 having the structure



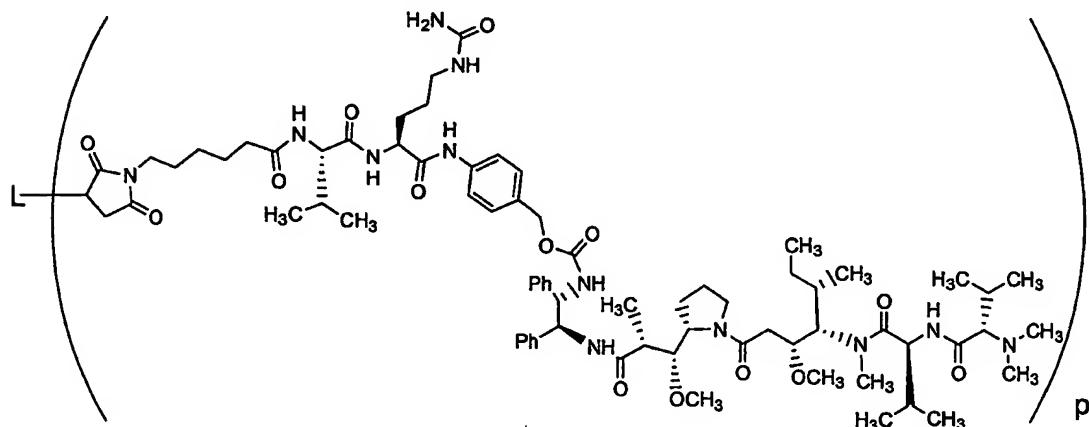
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

54. The compound of claim 1 having the structure



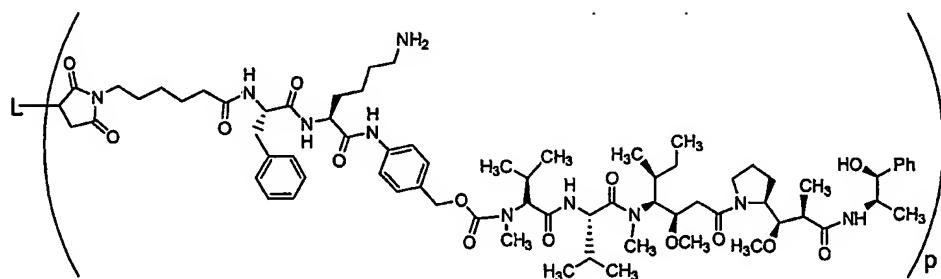
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

55. The compound of claim 3 having the structure



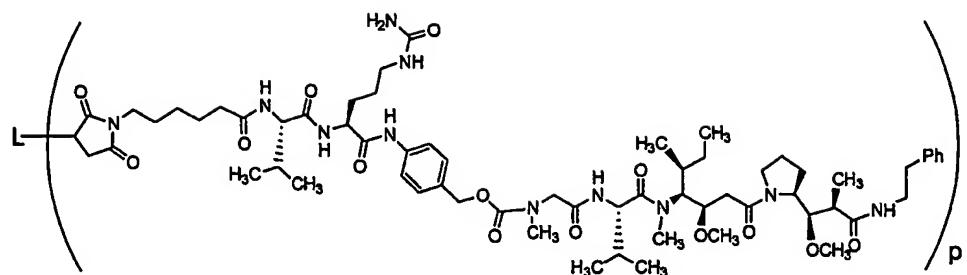
5 where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

56. The compound of claim 1 having the structure



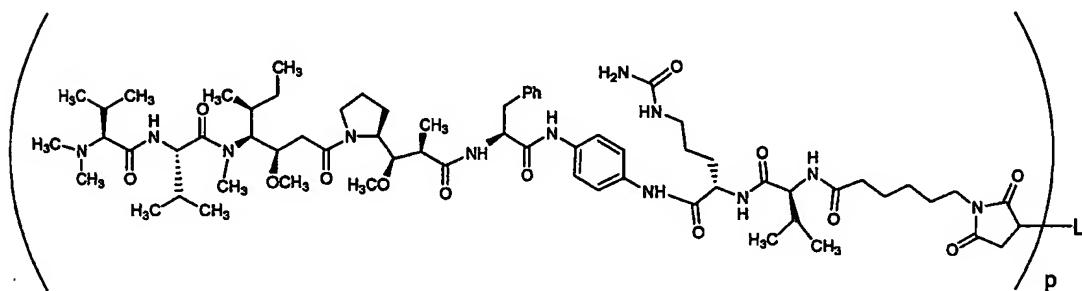
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

57. The compound of claim 1 having the structure



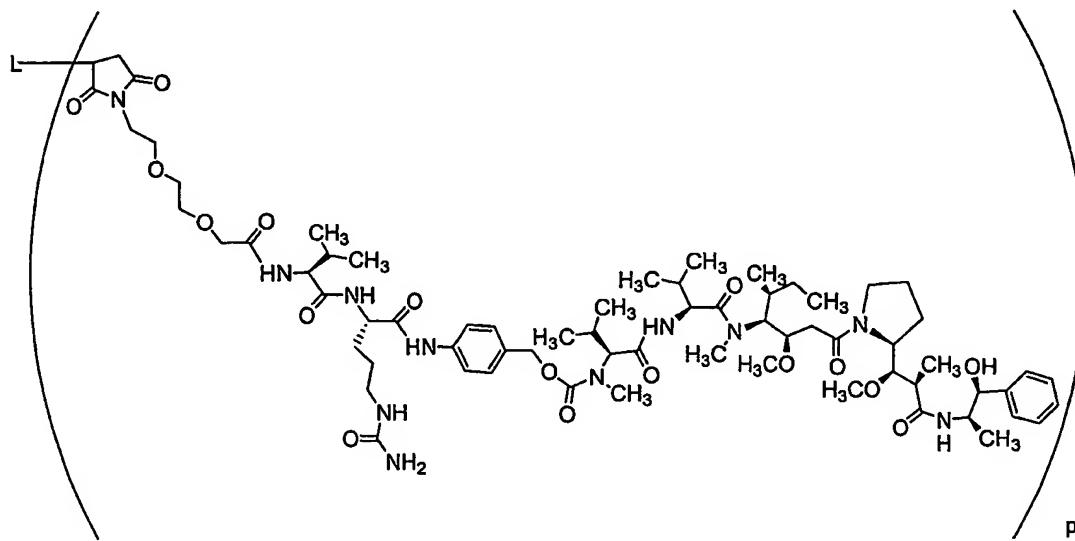
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

58. The compound of claim 3 having the structure



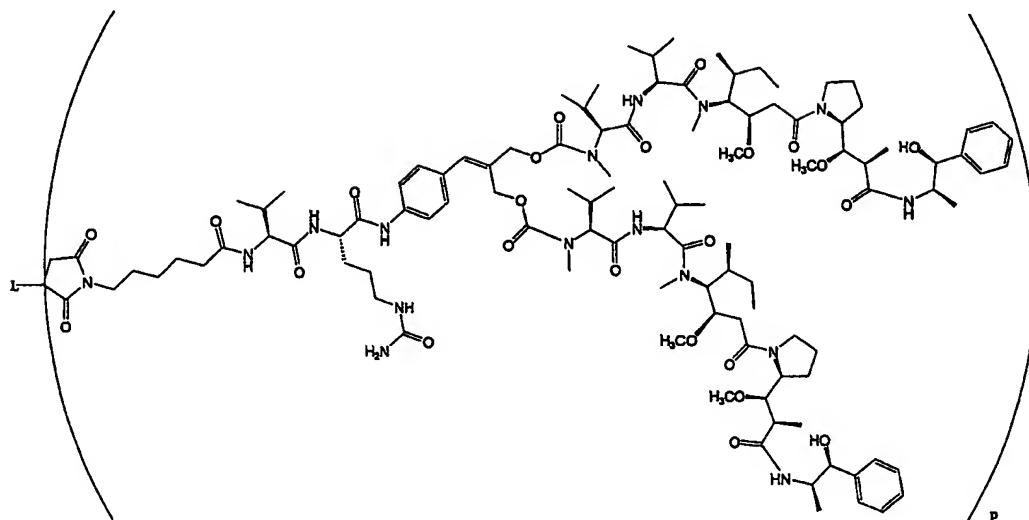
5 where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

59. The compound of claim 1 having the structure



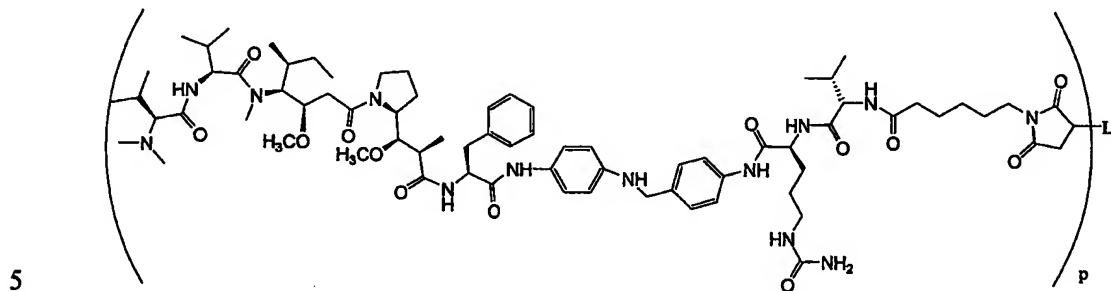
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

60. The compound of claim 5 having the structure



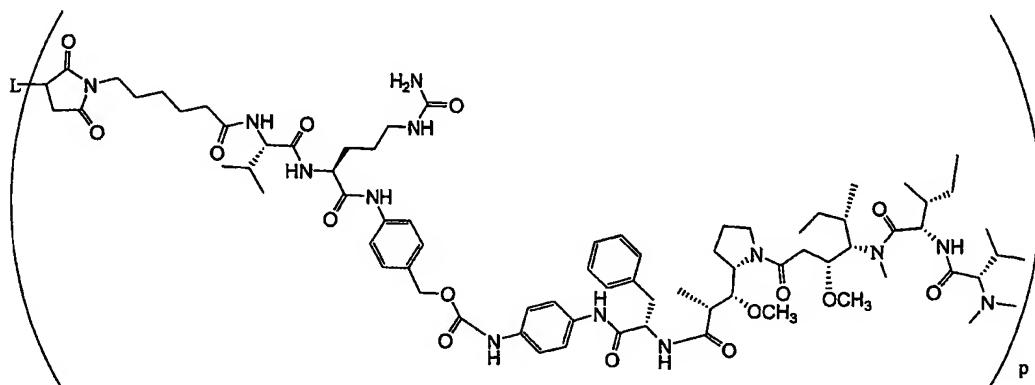
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

61. The compound of claim 3 having the structure



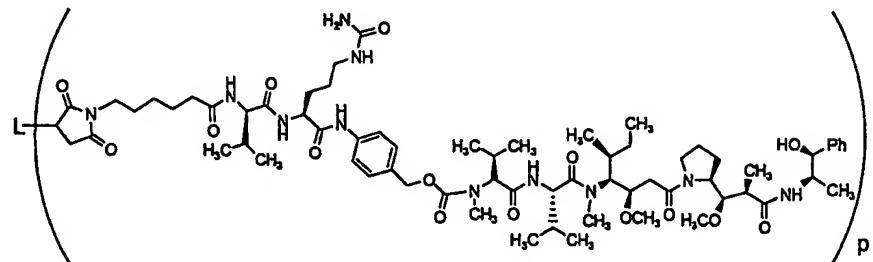
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

62. The compound of claim 3 having the structure



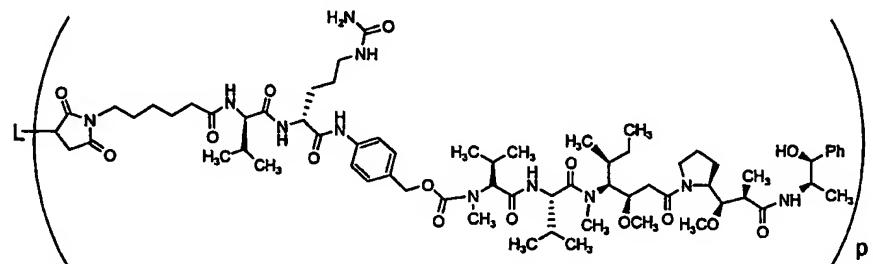
where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

## 63. The compound of claim 1 having the structure



where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

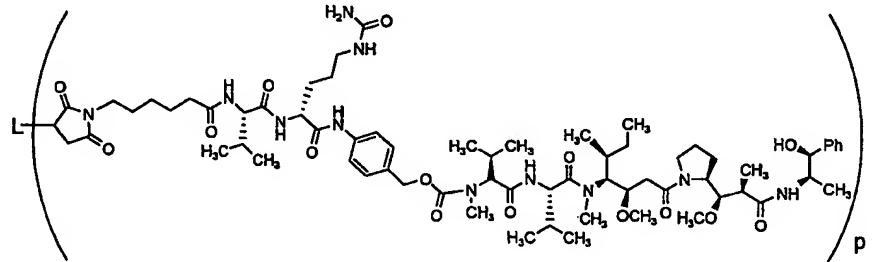
## 64. The compound of claim 1 having the structure



5

where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

## 65. The compound of claim 1 having the structure



where p ranges from 1 to about 20, or a pharmaceutically acceptable salt or solvate thereof.

10

66. The compound of any one of claims 53-65 where p ranges from about 7 to about 9.

67. The compound of any one of claims 53-65 where p ranges from about 3 to about 5.

68. The compound of any one of claims 53-65 where p ranges from 1 to about 3.

69. A composition comprising an effective amount of a compound or a pharmaceutically acceptable salt or solvate thereof of any one of claims 1, 3, 5, 32, 34, 35, 36, 37, 38, 43 or 44; and a pharmaceutically acceptable carrier or vehicle.

70. A method for killing or inhibiting the multiplication of a tumor cell or 5 cancer cell comprising administering to an animal in need thereof a therapeutically effective amount of a compound or a pharmaceutically acceptable salt or solvate thereof of any one of claims 1, 3, 5, 32, 34, 35, 36, 37, 38, 43 or 44.

71. A method for treating cancer, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt or solvate 10 of the compound of any one of claims 1, 3, 5, 32, 34, 35, 36, 37, 38, 43 or 44.

72. A method for treating an autoimmune disease, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3, 5, 32, 34, 35, 36, 37, 38, 43 or 44.

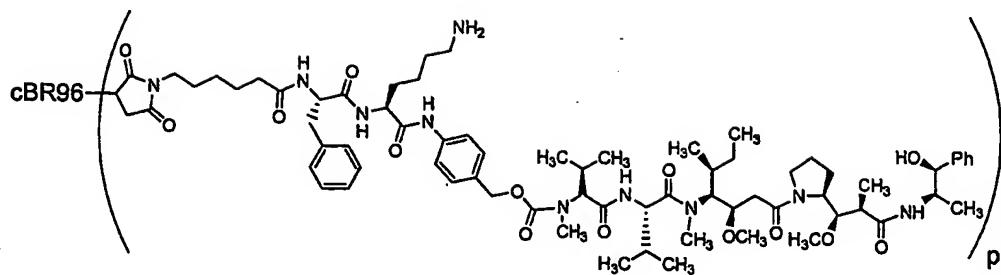
15 73. A method for treating an infectious disease, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 1, 3, 5, 32, 34, 35, 36, 37, 38, 43 or 44.

74. The method of claim 71 further comprising administering to the animal an effective amount of an anticancer agent.

20 75. The method of claim 72 further comprising administering to the animal an effective amount of an immunosuppressant agent.

76. The method of claim 73 further comprising administering to the animal an effective amount of an anti-infectious agent.

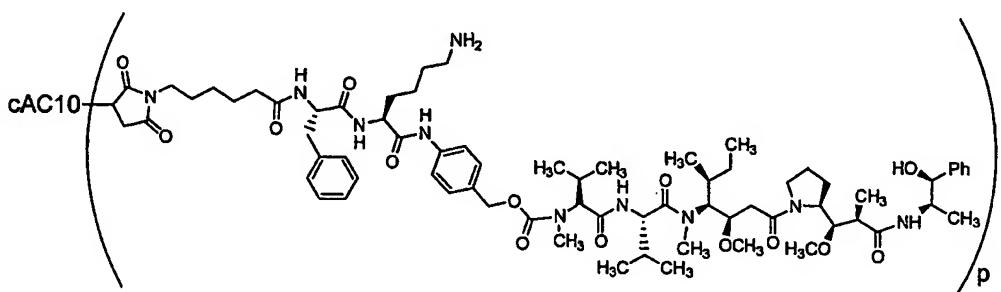
77. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from about 7 to about 9.

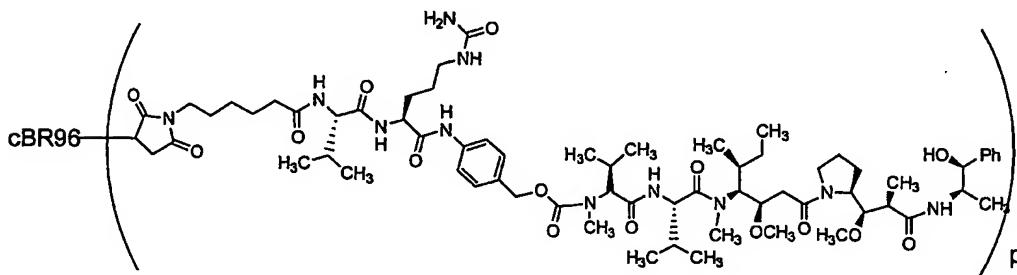
78. A compound having the structure

5



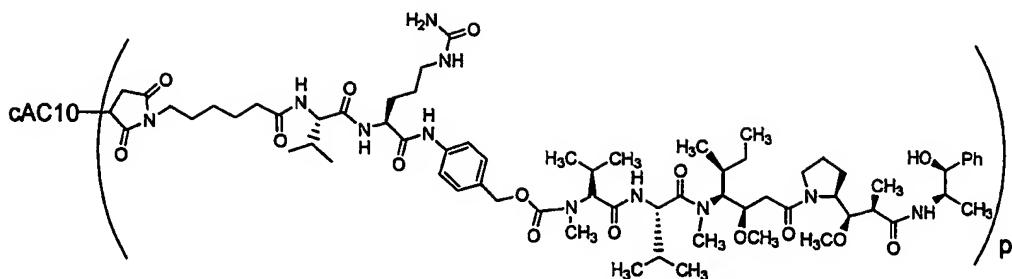
or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from about 7 to about 9.

79. A compound having the structure



10 or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from about 7 to about 9.

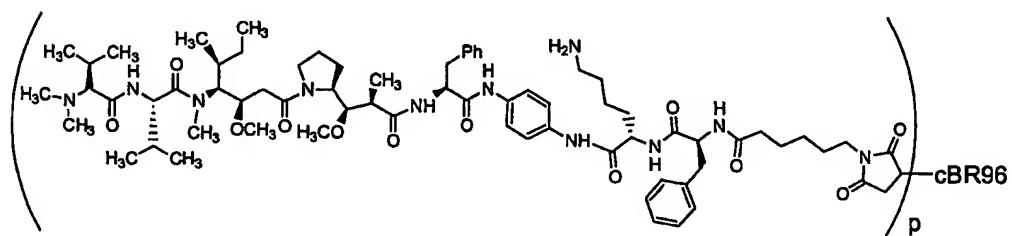
80. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from about 7 to about 9.

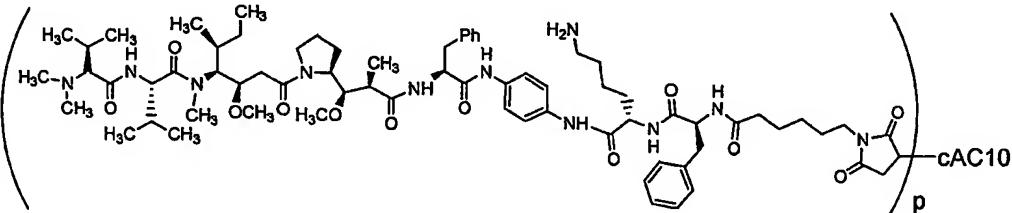
81. A compound having the structure

5



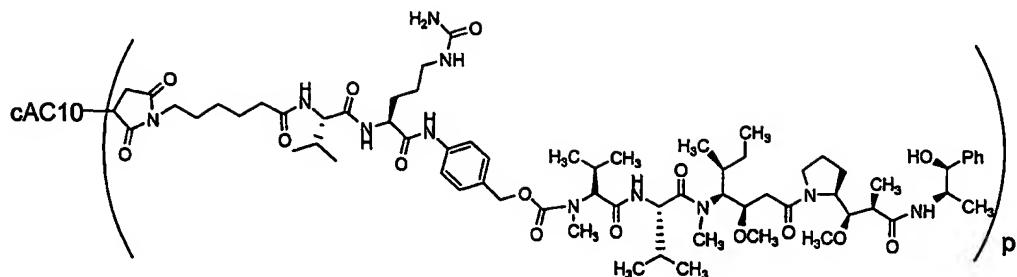
or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from about 7 to about 9.

82. A compound having the structure



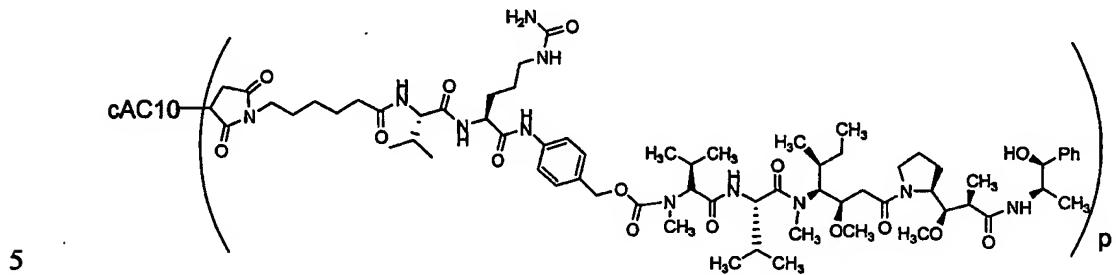
10 or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from about 7 to about 9.

83. A compound having the structure



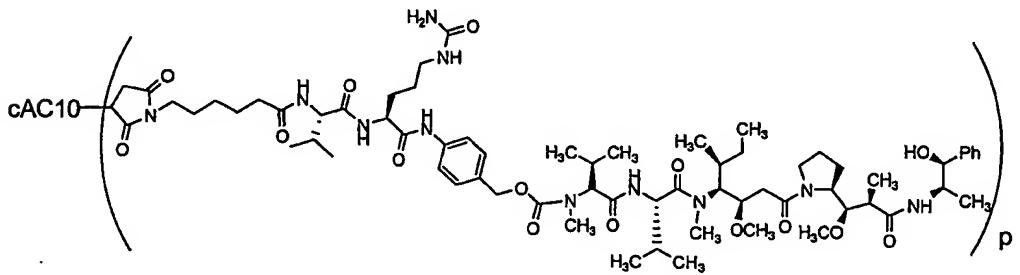
or a pharmaceutically acceptable salt or solvate thereof, where p ranges from about 7 to about 9.

84. A compound having the structure



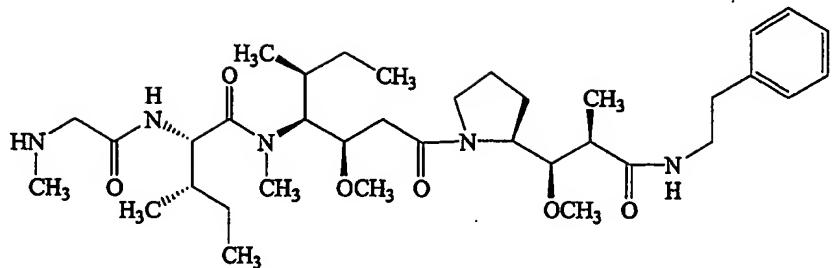
or a pharmaceutically acceptable salt or solvate thereof, where p ranges from about 3 to about 5.

85. A compound having the structure



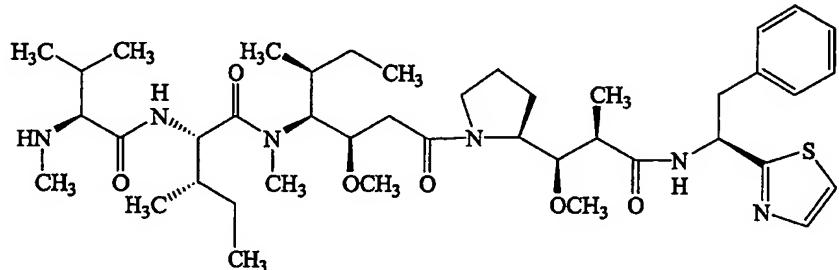
10 or a pharmaceutically acceptable salt or solvate thereof,  
where p ranges from 1 to about 3.

86. A compound having the structure



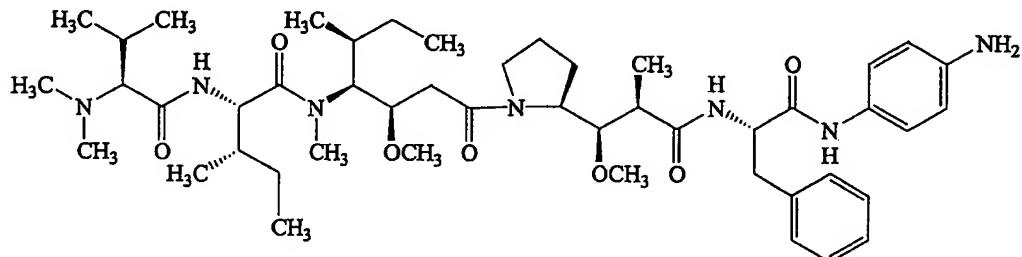
or a pharmaceutically acceptable salt or solvate thereof.

87. A compound having the structure



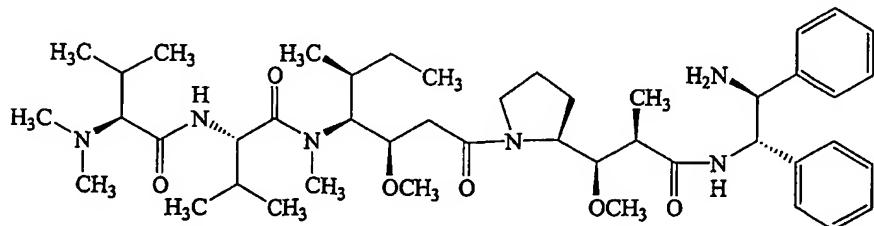
5 or a pharmaceutically acceptable salt or solvate thereof.

88. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof.

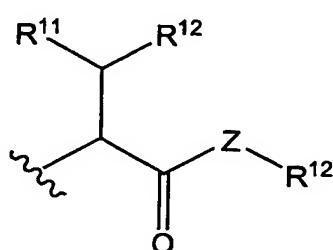
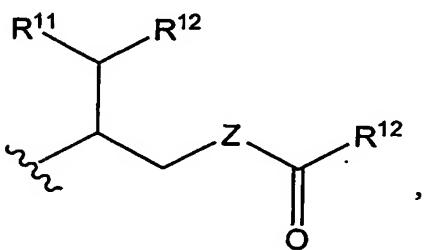
89. A compound having the structure



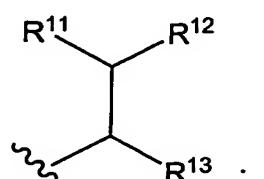
## AMENDED CLAIMS

received by the International Bureau on 16 June 2004:

claims 1,5, 7 are amended, 93-119 are added, claims 2-4,6 and 8-92 are unchanged.

 $R^6$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; $R^7$  is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);5 each  $R^8$  is independently selected from -H, -OH, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle and -O-(C<sub>1</sub>-C<sub>8</sub> alkyl); $R^9$  is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl; $R^{10}$  is selected from

and

10  $Z$  is -O-, -S-, -NH- or -N(R¹⁴)-; $R^{11}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR¹⁴, -N(R¹⁴)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or  $R^{11}$  is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;each  $R^{12}$  is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle; $R^{13}$  is selected from -H, -OH, -NH<sub>2</sub>, -NHR¹⁴, -N(R¹⁴)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); and20 Each  $R^{14}$  is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl.

2. The compound of claim 1 wherein w is an integer ranging from 2 to 12.

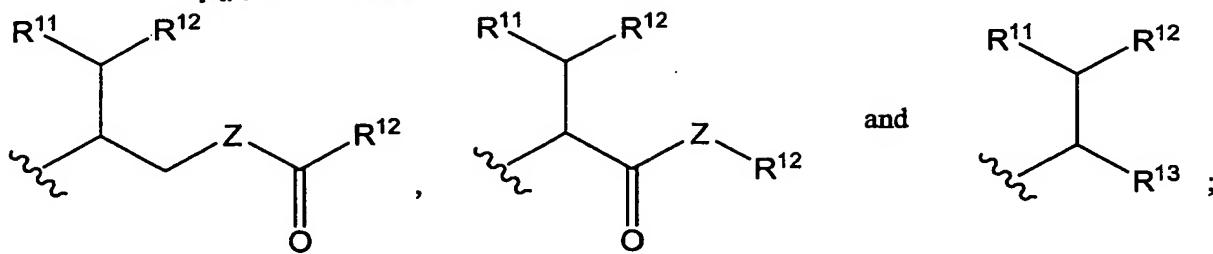
3. A compound of the formula Ib:



Ib

or a pharmaceutically acceptable salt or solvate thereof

## ART. 24 AMDT



Z is -O-, -S-, -NH- or -N(R<sup>14</sup>)-;

5 R<sup>11</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkyl), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); or R<sup>11</sup> is an oxygen atom which forms a carbonyl unit (C=O) with the carbon atom to which it is attached and a hydrogen atom on this carbon atom is replaced by one of the bonds in the (C=O) double bond;

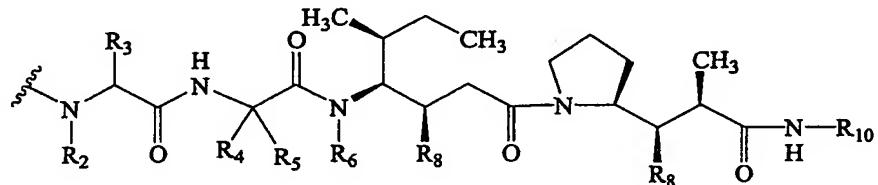
each R<sup>12</sup> is independently selected from -aryl and -C<sub>3</sub>-C<sub>8</sub> heterocycle;

10 R<sup>13</sup> is selected from -H, -OH, -NH<sub>2</sub>, -NHR<sup>14</sup>, -N(R<sup>14</sup>)<sub>2</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -O-(C<sub>1</sub>-C<sub>8</sub> alkoxy), -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle); and

each R<sup>14</sup> is independently -H or -C<sub>1</sub>-C<sub>8</sub> alkyl.

6. The compound of claim 5 wherein w is an integer ranging from 2 to 12.

7. A compound or a pharmaceutically acceptable salt or solvate of the  
15 compound of claim 1 where -D is a Drug unit having the structure



or a pharmaceutically acceptable salt or solvate thereof,

wherein, independently at each location:

R<sup>2</sup> is selected from -H and -methyl;

20 R<sup>3</sup> is selected from -H, -methyl, and -isopropyl;

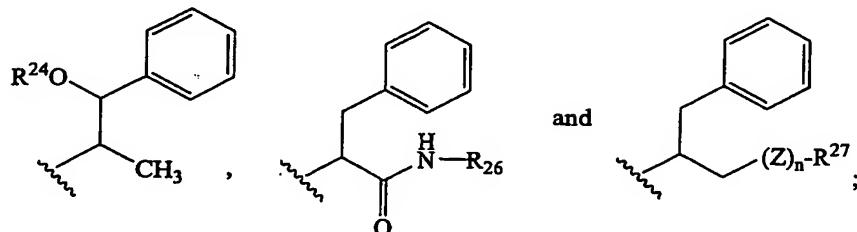
R<sup>4</sup> is selected from -H and -methyl; R<sup>5</sup> is selected from -isopropyl, -isobutyl, -sec-butyl, -methyl and -t-butyl or R<sup>4</sup> and R<sup>5</sup> join, have the formula -(CR<sup>a</sup>R<sup>b</sup>)<sub>n</sub>- where R<sup>a</sup> and R<sup>b</sup> are independently selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, and -C<sub>3</sub>-C<sub>8</sub> carbocycle, and n is

selected from 2, 3, 4, 5 and 6, and form a ring with the carbon atom to which they are attached;

$R^6$  is selected from -H and -methyl;

each  $R^8$  is independently selected from -OH, -methoxy and -ethoxy;

5  $R^{10}$  is selected from



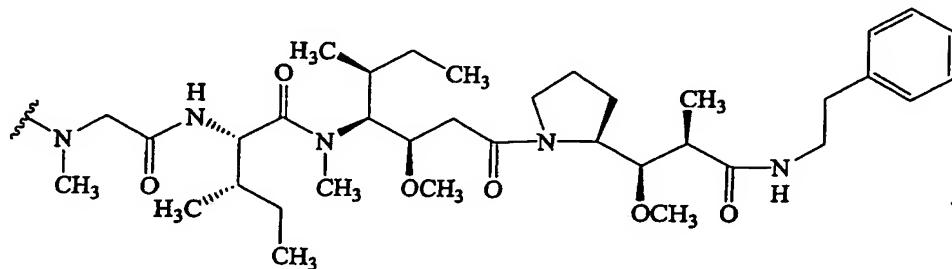
$R^{24}$  is selected from H and -C(O)R<sup>25</sup> ; wherein R<sup>25</sup> is selected from -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle);

10 Z is -O-, -NH-, -OC(O)-, -NHC(O)-, -NR<sup>28</sup>C(O)- ; where R<sup>28</sup> is selected from -H and -C<sub>1</sub>-C<sub>8</sub> alkyl;

n is 0 or 1; and

15 R<sup>27</sup> is selected from -H, -N<sub>3</sub>, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) when n is 0; and R<sup>27</sup> is selected from -H, -C<sub>1</sub>-C<sub>8</sub> alkyl, -C<sub>3</sub>-C<sub>8</sub> carbocycle, -aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-aryl, -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> carbocycle), -C<sub>3</sub>-C<sub>8</sub> heterocycle and -C<sub>1</sub>-C<sub>8</sub> alkyl-(C<sub>3</sub>-C<sub>8</sub> heterocycle) when n is 1.

8. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 1 where -D is a Drug unit having the structure

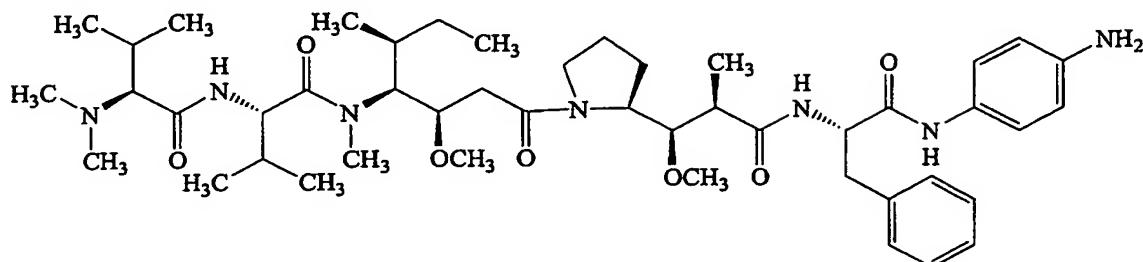


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9. A compound or a pharmaceutically acceptable salt or solvate of the compound of claim 1 where -D is a Drug unit having the structure

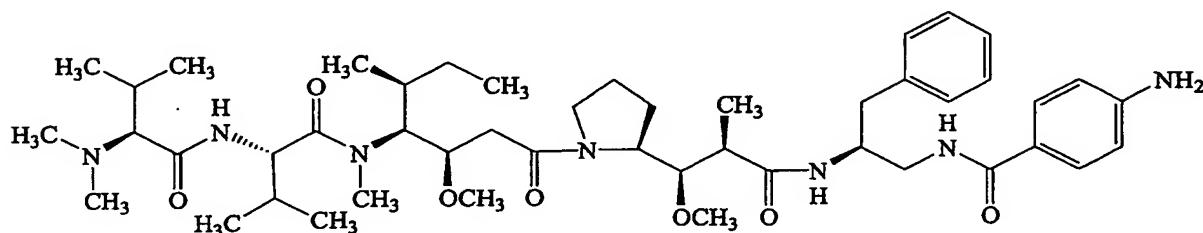
or a pharmaceutically acceptable salt or solvate thereof.

90. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof.

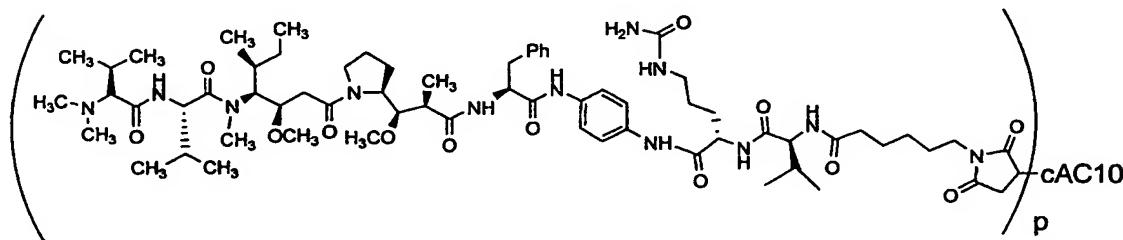
5 91. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof.

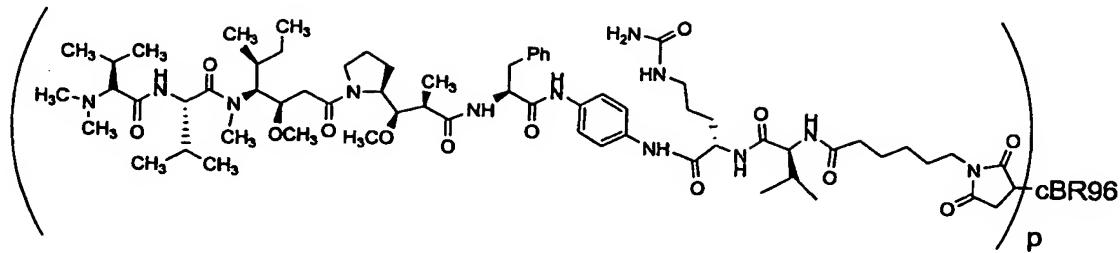
92. The compound or a pharmaceutically acceptable salt or solvate thereof of any one of claims 1, 3, 5, 32, 34, 35, 36, 37, 38, 43 or 44, in an isolated or a purified form.

10 93. A compound having the structure



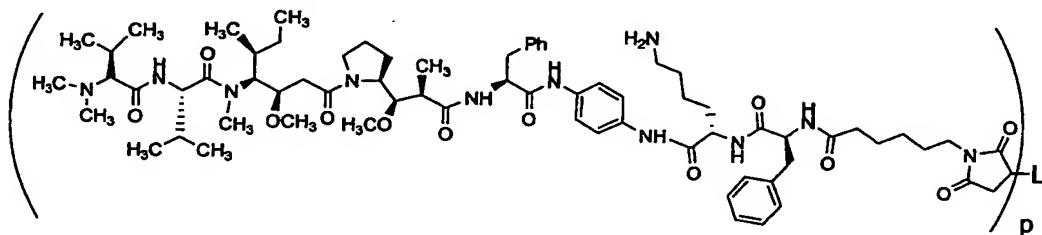
or a pharmaceutically acceptable salt or solvate thereof, where p ranges from about 7 to about 9.

94. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof, where p ranges from about 7 to about 9

95. A compound having the structure



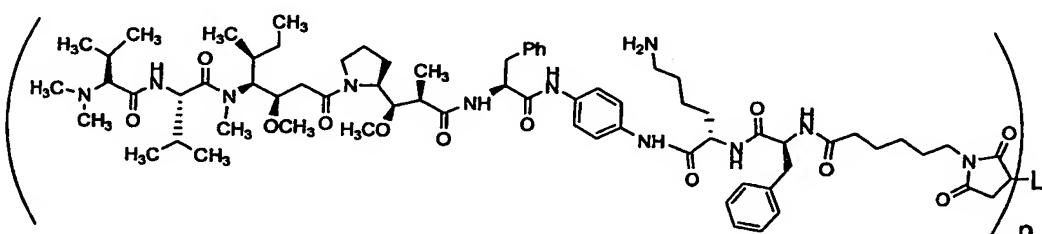
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or a pharmaceutically acceptable salt or solvate thereof,

where p ranges from about 7 to about 9; and L is an anti-CD20 antibody.

96. The compound of claim 95 wherein L is rituximab.

97. A compound having the structure



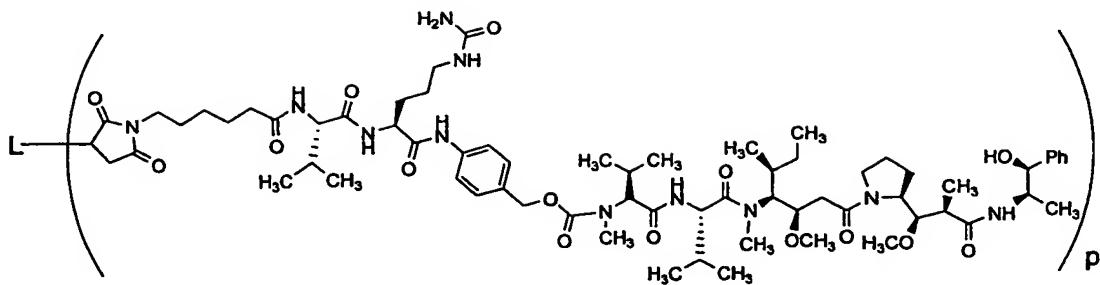
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or a pharmaceutically acceptable salt or solvate thereof,

where p ranges from about 7 to about 9; and L is an anti-CD40 antibody.

98. The compound of claim 97 wherein L is S2C6.

99. A compound having the structure

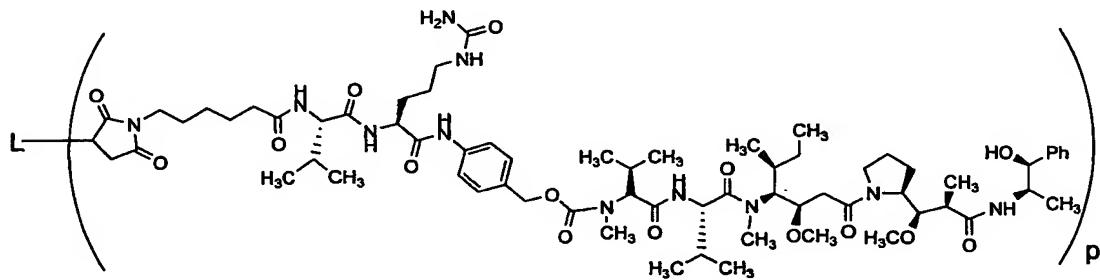


or a pharmaceutically acceptable salt or solvate thereof,

where p ranges from about 7 to about 9; and L is an anti-CD20 antibody.

100. The compound of claim 99 wherein L is rituximab.

5 101. A compound having the structure

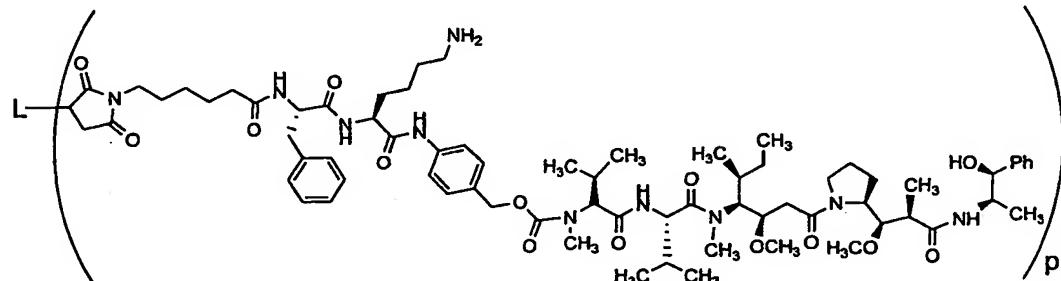


or a pharmaceutically acceptable salt or solvate thereof,

where p ranges from about 7 to about 9; and L is an anti-CD40 antibody.

102. The compound of claim 101 wherein L is S2C6.

10 103. A compound having the structure

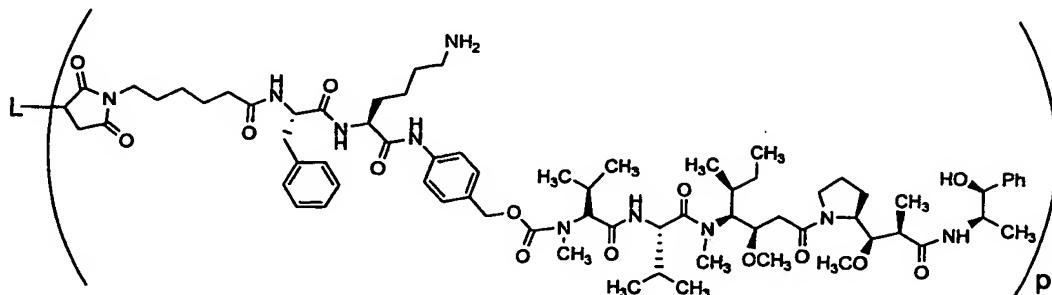


or a pharmaceutically acceptable salt or solvate thereof,

where p ranges from about 7 to about 9; and L is an anti-CD20 antibody.

104. The compound of claim 103 wherein L is rituximab.

105. A compound having the structure

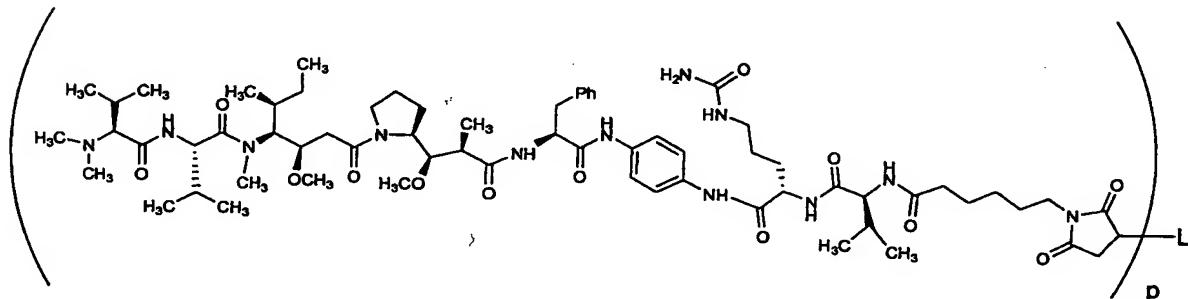


or a pharmaceutically acceptable salt or solvate thereof,

5 where  $p$  ranges from about 7 to about 9; and  $L$  is an anti-CD40 antibody.

106. The compound of claim 105 wherein L is S2C6.

107. A compound having the structure

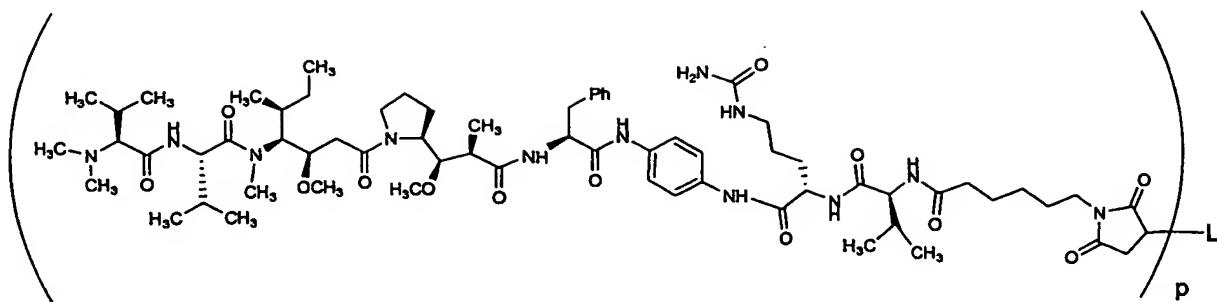


or a pharmaceutically acceptable salt or solvate thereof.

10 where p ranges from about 7 to about 9; and L is an anti-CD20 antibody.

108. The compound of claim 107 wherein L is rituximab

109. A compound having the structure



or a pharmaceutically acceptable salt or solvate thereof,

where p ranges from about 7 to about 9; and L is an anti-CD40 antibody.

110. The compound of claim 109 wherein L is S2C6.

5 111. A composition comprising an effective amount of a compound or a pharmaceutically acceptable salt or solvate thereof of any one of claims 77-91, 93-95, 97, 99, 101, 103, 105, 107 and 109 and a pharmaceutically acceptable carrier or vehicle.

10 112. A method for killing or inhibiting the multiplication of a tumor cell or cancer cell comprising administering to an animal in need thereof a therapeutically effective amount of a compound or a pharmaceutically acceptable salt or solvate thereof of any one of claims 77-91, 93-95, 97, 99, 101, 103, 105, 107 and 109.

113. A method for treating cancer, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 77-91, 93-95, 97, 99, 101, 103, 105, 107 and 109.

15 114. A method for treating an autoimmune disease, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 77-91, 93-95, 97, 99, 101, 103, 105, 107 and 109.

20 115. A method for treating an infectious disease, comprising administering to an animal in need thereof an effective amount of a compound or a pharmaceutically acceptable salt or solvate of the compound of any one of claims 77-91, 93-95, 97, 99, 101, 103, 105, 107 and 109.

116. The method of claim 113 further comprising administering to the animal an effective amount of an anticancer agent.

117. The method of claim 114 further comprising administering to the animal an effective amount of an immunosuppressant agent.

5 118. The method of claim 115 further comprising administering to the animal an effective amount of an anti-infectious agent.

119. The compound or a pharmaceutically acceptable salt or solvate thereof of any one of claims 77-91, 93-95, 97, 99, 101, 103, 105, 107 and 109, in an isolated or a purified form.